=> d his

(FILE 'HOME' ENTERED AT 18:29:47 ON 29 AUG 2006)

FILE 'REGISTRY' ENTERED AT 18:29:58 ON 29 AUG 2006

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 88 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:32:19 ON 29 AUG 2006

L4 28 S L3

FILE 'HOME' ENTERED AT 18:34:26 ON 29 AUG 2006

chain nodes:

7 8 9 10 11 12 14 16 23 24 25 26 27 34 35 36 37 38 42 43 51 52 59 60 67 68 69 79 89 90 98

ring nodes:

1 2 3 4 5 6 18 19 20 21 22 29 30 31 32 33 45 46 47 48 49 50 53 54 55 56 57 58 61 62 63 64 65 66 70 71 72 73 74 75 76 77 78 80 81 82 83 84 85 86 87 88 chain bonds:

2-14 3-8 4-7 8-9 8-16 9-10 10-11 11-12 11-98 19-25 20-26 21-27 22-23 23-24 27-42 30-36 31-37 32-38 33-34 34-35 35-43 48-52 50-51 56-60 58-59 63-69 64-68 66-67 78-79 86-90 88-89

ring bonds:

1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-22 19-20 20-21 21-22 29-30 29-33 30-31 31-32 32-33 45-50 45-46 46-47 47-48 48-49 49-50 53-58 53-54 54-55 55-56 56-57 57-58 61-66 61-62 62-63 63-64 64-65 65-66 70-71 70-72 71-73 72-74 73-74 73-75 74-78 75-76 76-77 77-78 80-81 80-82 81-83 82-84 83-84 83-85 84-88 85-86 86-87 87-88

exact/norm bonds:

2-14 8-16 10-11 11-12 11-98 18-19 18-22 19-20 19-25 20-21 20-26 21-22 21-27 23-24 27-42 29-30 29-33 30-31 30-36 31-32 31-37 32-33 32-38 34-35 35-43 45-50 45-46 46-47 47-48 48-49 48-52 49-50 50-51 53-58 53-54 54-55 55-56 56-57 56-60 57-58 58-59 61-66 61-62 62-63 63-64 64-65 64-68 65-66 66-67 70-71 70-72 71-73 72-74 78-79 80-81 80-82 81-83 82-84 83-85 84-88 85-86 86-87 86-90 87-88 88-89

exact bonds:

3-8 4-7 8-9 9-10 22-23 33-34 63-69

normalized bonds:

1-2 1-6 2-3 3-4 4-5 5-6 73-74 73-75 74-78 75-76 76-77 77-78

G1:H,Cl,Br,F,I,NO2

G2:H,MeO,Ak

G3:0,S

G4:H,O,S

G5:H,N

G6:[*1],[*2],[*3],[*4],[*5]

G7:[*6],[*7]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS 12:CLASS14:CLASS16:CLASS18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS24:CLASS 25:CLASS26:CLASS29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:CLASS35:CLASS 36:CLASS37:CLASS38:CLASS42:CLASS43:CLASS45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS52:CLASS33:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:CLASS60:CLASS61:Atom 62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:CLASS68:CLASS69:CLASS70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom 78:Atom 79:CLASS80:Atom 81:Atom 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom 88:Atom 89:CLASS90:CLASS

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chain nodes :
7 8 9 10 11 12 14 16 23 24
59 60 67 68 69 79 89 90 98
                                      25  26  27  34  35  36  37  38  42  43  51  52
ring nodes :
1 2 3 4 5 6 18 19 20 21 22 29 30 31 32 33 45 46 47 48 49 50
53 54 55 56 57 58 61 62 63 64 65 66 70 71 72 73 74 75 76 77 78 80 81 82 83 84 85 86 87 88
chain bonds :
2-14 3-8 4-7 8-9 8-16 9-10 10-11 11-12 11-98 19-25 20-26 21-27 22-23
                     31-37 32-38 33-34 34-35 35-43 48-52 50-51 56-60 58-59
23-24 27-42 30-36 31-37 32-38 33-34
63-69 64-68 66-67 78-79 86-90 88-89
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-22 19-20 20-21 21-22 29-30 29-33
30-31 31-32 32-33 45-50 45-46 46-47 47-48 48-49 49-50 53-58 53-54 54-55
      56-57 57-58 61-66
                           61-62 62-63 63-64 64-65 65-66 70-71
                                                                        70-72 71-73
55-56
                                   76-77 77-78 80-81 80-82 81-83 82-84 83-84
                            75-76
72-74 73-74 73-75 74-78 75-76
83-85 84-88 85-86 86-87 87-88
       73-74 73-75
exact/norm bonds :
2 - 14 \quad 8 - 16 \quad 10 - 11 \quad 11 - 12 \quad 11 - 98 \quad 18 - 19 \quad 18 - 22 \quad 19 - 20 \quad 19 - 25 \quad 20 - 21 \quad 20 - 26 \quad 21 - 22
21-27 23-24 27-42 29-30 29-33 30-31 30-36 31-32 31-37 32-33 32-38 34-35
             45-46 46-47
                            47-48 48-49 48-52 49-50 50-51 53-58
                                                                        53-54 54-55
       45-50
35-43
                            58-59 61-66 61-62 62-63 63-64
                                                                        64-68
                     57-58
                                                                 64-65
55-56
      56-57
             56-60
                           72-74 78-79 80-81 80-82 81-83 82-84
                                                                        83-84 83-85
                     71-73
              70-72
66-67
       70-71
                     71-73 72-7-
86-90 87-88 88-89
Roy P. Issac
84-88 85-86 86-87
                                                                                  Page 3
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30/08/2006 10/764,989

exact bonds :

3-8 4-7 8-9 9-10 22-23 33-34 63-69

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 73-74 73-75 74-78 75-76 76-77 77-78

G1:H,Cl,Br,F,I,NO2

G2:H, MeO, Ak

G3:0,5

G4:H,O,S

G5:H,N

G6:[*1],[*2],[*3],[*4],[*5]

G7: [*6], [*7]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 14:CLASS 16:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 42:CLASS 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS 52:CLASS 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:CLASS 60:CLASS 61:Atom 62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:CLASS 68:CLASS 69:CLASS 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom 78:Atom 79:CLASS 80:Atom 81:Atom 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom 88:Atom 89:CLASS 90:CLASS 98:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 18:31:07 FILE 'REGISTRY'

9 TO ITERATE SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

9 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

9 TO 360

PROJECTED ANSWERS:

2 TO 124

2 SEA SSS SAM L1 L2

=> d l2 hitstr

'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

10/764,989 30/08/2006

Jason; Singer, Michael; Green, Roland D.; Pfleiderer,

Wolfgang; Steiner, Ulrich E.

CORPORATE SOURCE:

SOURCE:

University of Konstanz, Konstanz, D-78457, Germany

Helvetica Chimica Acta (2004), 87(1), 28-45

CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal LANGUAGE: English

Conditions and kinetics of triplet sensitization as a method for increasing the light sensitivity of photolabile protecting groups used for the photolithog. synthesis of oligonucleotide microarrays were quant. studied with the photolabile 2-(2-nitrophenyl)propyl protecting group in homogeneous solns. and on glass substrates by using laser flash photolysis, continuous illumination with HPLC anal., fluorescence dye labeling, and hybridization. In terms of efficiency and avoidance of chemical side reactions, 9H-thioxanthen-9-one was the most-suitable sensitizer. Both in solution and on a glass substrate, the photostationary kinetics were quant. modeled and the relevant kinetic parameters determined While the sensitization kinetics was diffusion-controlled both in solution and on the chip, the photostationary kinetics was essentially of zero order only on the chip because here the triplet-quenching effect of the released photoproduct 2-(2-nitrophenyl)propene was suppressed as a consequence of the inhomogeneous reaction that took place in a narrow diffusion zone above the surface from where the photoproducts could quickly escape. The kinetic simulation allowed quant. estimate of the d. of reactive groups on the surface. It was further demonstrated that, with 9H-thioxanthen-9-one as a sensitizer, high-d. oligonucleotide microarrays of high quality can be produced with one-third of the normal exposure time.

IT 189216-59-9

RL: ARG (Analytical reagent use); CPS (Chemical process); PEP (Physical, engineering or chemical process); ANST (Analytical study); PROC (Process); USES (Uses)

(photolabile reactant; triplet-sensitized photodeprotection of oligonucleotides in solution and on microarray chips)

RN 189216-59-9 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:733400 CAPLUS

DOCUMENT NUMBER: 139:208735

TITLE: Manufacture of DNA microarrays using photolabile

protecting groups

INVENTOR(S): Steiner, Ulrich; Woell, Dominik; Walbert, Stefan

PATENT ASSIGNEE(S): Universitaet Konstanz, Germany SOURCE: Patentschrift (Switz.), 14 pp.

CODEN: SWXXAS

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE ---------------CH 2002-392 CH 693202 Α 20030415 20020304 CH 2002-392 PRIORITY APPLN. INFO.: 20020304

AB A method of manufacturing DNA microarrays by in situ synthesis using protecting groups labile to electromagnetic irradiation is described. The method allows precise irradiation of individual sites on a microarray with efficient cleavage of protective groups. Groups are chosen with a triplet state energy that is of the order of 20 kJ higher than the average thermal energy of the mol.

IT 179691-39-5 189216-59-9 244140-79-2 335201-64-4 335201-68-8 335201-72-4

RL: RCT (Reactant); RACT (Reactant or reagent)

Patent

(as protecting group reagent in oligonucleotide synthesis; manufacture of DNA microarrays using photolabile protecting groups)

RN 179691-39-5 CAPLUS

CN Thymidine, 5'-[2-(2-chloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 189216-59-9 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 244140-79-2 CAPLUS

CN Thymidine, 5'-[2-(4-bromo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 335201-64-4 CAPLUS

CN Thymidine, 5'-[2-(5-chloro-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 335201-68-8 CAPLUS

CN Thymidine, 5'-[2-(5-bromo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 335201-72-4 CAPLUS

CN Thymidine, 5'-[2-(5-iodo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 11 OF 28

2003:714427 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:50156

More efficient photolithographic synthesis of TITLE:

DNA-chips by photosensitization

Woell, D.; Walbert, S.; Stengele, K.-P.; Green, R.; AUTHOR (S):

Albert, T.; Pfleiderer, W.; Steiner, U. E. University of Konstanz, Konstanz, 78457, Germany CORPORATE SOURCE:

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2003),

22(5-8), 1395-1398

CODEN: NNNAFY; ISSN: 1525-7770

Marcel Dekker, Inc. PUBLISHER:

Journal DOCUMENT TYPE: LANGUAGE: English

Triplet sensitizers, such as acridone and thioxanthone, which efficiently absorb the light and transfer the electronic energy to the reactive protecting group, were evaluated. Thioxanthone was found to be the most suitable sensitizer for the o-nitrophenyl-2-propoxycarbonyl group. In homogeneous solns., the light sensitivity could be enhanced by as much as a factor of 10, while in terms of overall deprotection yield and avoidance of side reactions, the photosensitized reaction was efficient as the direct photoreaction. For high-d. DNA-chip synthesis, photosensitization significantly reduced the cycle time of the synthesis without significant reduction in the quality of the chip. The reaction order of the sensitized reaction on the chips was close to zero, which is particularly favorable since it shortens the necessary illumination time.

IT189216-59-9

> RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent) (photocleavage of nitrophenylpropoxycarbonyl-protected thymidine in presence and absence of thioxanthone triplet sensitizer)

RN 189216-59-9 CAPLUS

Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 10/764,989 30/08/2006

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

THE RESERVE

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

2003:58099 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

138:90025

河水园 图域(1973年)

TITLE:

Synthesis of oligodeoxyribonucleotides via condensation of nucleoside phosphoramidites Stengele, Klaus-Peter; Pfleiderer, Wolfgang

INVENTOR (S):

Chemogenix G.m.b.H., Germany

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 56 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE:

English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						KIND DATE					ICAT:						
WO	WO 2003006476																
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
		GM,	HR.	HU.	ID.	IL.	IN,	IS.	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
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DE 10133779						2003	0206]	DE 2	001-	1013	20010716					
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US	2004	•		•					CY, AL, TR, BG, CZ, US 2004-754447								
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								DE 2001-10133779 WO 2002-EP7657									
EP	1013 1409 R: 2004	UA, TJ, GH, CH, PT, NE, 3779 505 AT, IE, 2030	UG, TM GM, CY, SE, SN, BE, SI,	US, KE, CZ, SK, TD, CH, LT,	UZ, LS, DE, TR, TG A1 A1 DE, LV, A1	VN, MW, DK, BF,	2004 ES, RO, 2004	ZA, SD, ES, CF, 0206 0421 FR, MK, 1014	ZM, SL, FI, CG, GB, CY,	ZW, SZ, FR, CI, DE 20 EP 20 GR, AL, US 20 DE 20 DE 20	AM, TZ, GB, CM, 001- 002- IT, TR, 004- 001-	AZ, UG, GR, GA, 1013: 76466 LI, BG, 75444 1013: 1013:	BY, ZM, IE, GN, 3779 52 LU, CZ, 47 2536 3779	KG, ZW, IT, GQ, NL, EE,	KZ, AT, LU, GW, SE, SK, A 20	MD, BE, MC, ML, 0010' 0020' MC, 0040' 0010'	RU, BG, NL, MR, 716 709 PT, 109 709 716

OTHER SOURCE(S): MARPAT 138:90025

The present invention relates to a process for the preparation of polynucleotides, whereby under suitable usual conditions the free 5'-hydroxy group, whose terminal 3'-hydroxy group contains a usual protecting group, is reacted with a hydroxy group, derivatized in a previous reaction step to a phosphite amido-ester, phosphotriester or phosphonic acid ester, whereby said hydroxy group is a 3'-hydroxy function of a free or solid phase bound polynucleotide, or a solid phase bound hydroxy function. Further the present invention relates to a kit for performing a process according to the invention, which contains at least one or more selected oligonucleotides, having a free 5'-hydroxy group and a protected 3'-hydroxy group. Further on, the present invention relates to new oligonucleotides and their use as building blocks for the synthesis of polynucleotides in the process according to the invention. Furthermore the present invention relates to the use of the process according to the invention or the use of the kits for the preparation of poly/oligonucleotides resp. polynucleotide libraries or nucleic acid chips. Thus, thymidyl- $\{3'-[OP-(2-cyanoethyl)]\rightarrow 5'\}-3'-0-[2-(2-cyanoethyl)]$ nitrophenyl)propyloxycarbonyl]thymidine was prepared in 82% yield by coupling of 5'-O-(4,4'-dimethoxytrityl)thymidine-3'-O-[(2-cyanoethyl)-N,Ndiisopropylphosphoramidite] with 3'-O-[2-(2-nitrophenyl)propyloxycarbonyl] thymidine in presence of 4,5-dicyanoimidazole.

IT 298699-71-5P

> RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis of oligodeoxyribonucleotides via condensation of nucleoside phosphoramidites)

10/764,989 30/08/2006

RN 298699-71-5 CAPLUS

CN Thymidine, 3'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:19930 CAPLUS

DOCUMENT NUMBER:

138:51930

TITLE:

Photochemical crosslinking agents for immobilization

of oligonucleotides in arrays

PATENT ASSIGNEE(S):

Steiner, Ulrich, Germany; Universitaet Konstanz;

Woell, Dominik

SOURCE:

Ger. Gebrauchsmusterschrift, 27 pp.

CODEN: GGXXFR

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.		DATE	APPLICATION N	-	DATE
	DE 20210018	U1	20030109	DE 2002-20210	018	20020304
	DE 10209203	A1	20030925	DE 2002-10209	203	20020304
PRIO	RITY APPLN. INFO.:			DE 2002-10209	203 IA	20020304
AB	A reagent for immob					
	with a labile funct					
	energy level simila					
	labile functional g	roup.	The photolab	ile compound i	s an aroma	atic compound
cont	aining					
	a double bond betwe					
	agents are an alter	native	to the prior	art aromatic	nitrosoket	tones.
IT	179691-39-5 189216-	59-9 24	4140-79-2			
	335201-64-4 335201-	68-8 33	5201-72-4			
	RL: DEV (Device com	ponent	use); MOA (M	odifier or add	litive use); RCT
	(Reactant); RACT (R	- eactant	or reagent)	; USES (Uses)		
	(in immobilizati	on of c	ligonucleoti	des; photochem	n. crossli	nking agents
	for immobilizati	on of c	ligonucleoti	des in arrays)		
RN	179691-39-5 CAPLUS		_	_		
CN	Thymidine, 5'-[2-(2	-chlore	-6-nitrophen	yl)ethyl carbo	nate] (9C	I) (CA INDEX
	NAME)		_	-		

RN 189216-59-9 CAPLUS CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 244140-79-2 CAPLUS CN Thymidine, 5'-[2-(4-bromo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 335201-64-4 CAPLUS
CN Thymidine, 5'-[2-(5-chloro-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

RN 335201-68-8 CAPLUS

CN Thymidine, 5'-[2-(5-bromo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 335201-72-4 CAPLUS

CN Thymidine, 5'-[2-(5-iodo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER: 2002:882832 CAPLUS

TITLE:

AUTHOR (S):

138:181602

Gene expression analysis using oligonucleotide arrays

produced by Maskless photolithography

Nuwaysir, Emile F.; Huang, Wei; Albert, Thomas J.; Singh, Jaz; Nuwaysir, Kate; Pitas, Alan; Richmond, Todd; Gorski, Tom; Berg, James P.; Ballin, Jeff; McCormick, Mark; Norton, Jason; Pollock, Tim; Sumwalt,

Terry; Butcher, Lawrence; Porter, DeAnn; Molla, Michael; Hall, Christine; Blattner, Fred; Sussman, Michael R.; Wallace, Rodney L.; Cerrina, Franco;

Page 53

10/764,989 30/08/2006

Green, Roland D.

CORPORATE SOURCE: NimbleGen Systems, Inc., Madison, WI, 53711, USA

SOURCE: Genome Research (2002), 12(11), 1749-1755

CODEN: GEREFS; ISSN: 1088-9051

PUBLISHER: Cold Spring Harbor Laboratory Press

DOCUMENT TYPE: Journal LANGUAGE: English

AB Microarrays containing 195,000 in situ synthesized oligonucleotide features have been created using a benchtop, maskless photolithog. instrument. This instrument, the Maskless Array Synthesizer (MAS), uses a digital light processor (DLP) developed by Texas Instruments. The DLP creates the patterns of UV light used in the light-directed synthesis of oligonucleotides. This digital mask eliminates the need for expensive and time-consuming chromium masks. In this report, the authors describe expts. in which the authors tested this maskless technol. for DNA synthesis on glass surfaces. Parameters examined included deprotection rates, repetitive yields, and oligonucleotide length. Custom gene expression arrays were manufactured and hybridized to Drosophila melanogaster and mouse samples. Quant. PCR was used to validate the gene expression data from the mouse arrays.

IT 189216-59-9

RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(gene expression anal. using oligonucleotide arrays produced by maskless photolithog.)

RN 189216-59-9 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:658632 CAPLUS

DOCUMENT NUMBER: 135:371950

TITLE: Synthesis of photolabile 5'-O-phosphoramidites for the

photolithographic production of microarrays of

inversely oriented oligonucleotides

AUTHOR(S): Beier, Markus; Stephan, Achim, Hoheisel, Jorg D.

CORPORATE SOURCE: Functional Genome Analysis, Deutsches

Krebsforschungszentrum, Heidelberg, D-69120, Germany

SOURCE: Helvetica Chimica Acta (2001), 84(7), 2089-2095

CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:371950

AB The photolabile 3'-O-{[2-(2-nitrophenyl)propoxy]carbonyl}-protected 5'-phosphoramidites were synthesized for an alternative mode of light-directed production of oligonucleotide arrays. Because of the characteristics of these monomeric building blocks, photolithog. in situ

10/764,989 30/08/2006

البعد يماؤه

DNA synthesis occurred in $5' \rightarrow 3'$ direction, in agreement with the orientation of enzymic synthesis. Synthesis yields were as good as those of conventional reactions. The resulting oligonucleotides are attached to the surface via their 5'-termini, while the 3'-hydroxy groups are available as substrates for enzymic reactions such as primer extension upon hybridization of a DNA template. The production of such oligonucleotide chips adds new procedural avenues to the growing number of applications of DNA microarrays.

IT 298699-71-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of photolabile phosphoramidites for the photolithog. production of microarrays of inversely oriented oligonucleotides)

RN 298699-71-5 CAPLUS

CN Thymidine, 3'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:561245 CAPLUS

DOCUMENT NUMBER:

135:289010

TITLE:

Photolabile protecting groups for nucleosides: mechanistic studies of the 2-(2-nitrophenyl)ethyl

group

AUTHOR (S):

Walbert, Stefan; Pfleiderer, Wolfgang; Steiner, Ulrich

Ε.

CORPORATE SOURCE:

Fachbereich Chemie der Universitat Konstanz, Konstanz,

D-78457, Germany

SOURCE:

Helvetica Chimica Acta (2001), 84(6), 1601-1611

CODEN: HCACAV; ISSN: 0018-019X Verlag Helvetica Chimica Acta

PUBLISHER: DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 135:289010

AB The photochem. of several 2-(2-nitrophenyl)ethyl-caged compds. including caged thymidine nucleosides was studied by nanosecond laser flash photolysis and stationary illumination expts. with quant. HPLC anal. for quantum yields and product distribution. Effects of solvent basicity and acidity were investigated by varying the H2O content and HCl concentration, resp., in MeCN/H2O mixts. For all compds. investigated, intramol. H abstraction by the nitro group from the exocyclic α-position with respect to the aryl moiety was found to be the primary process. The protolytic dissociation equilibrium of the resulting aci-nitro compound was kinetically characterized in the 0.1 - 10 μs time region. In general, two reaction channels compete for the aci-nitro compound and its anion: β-elimination of the caged compound occurs from the anion, while from the undissociated aci-nitro compound, a nitrosobenzene derivative is formed with

REFERENCE COUNT:

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:137226 CAPLUS

DOCUMENT NUMBER:

134:178767

TITLE:

Preparation of nucleoside derivatives capable of

undergoing UV-photolysis for oligonucleotide synthesis

INVENTOR(S):

Berlin, Kurt

PATENT ASSIGNEE(S): SOURCE: Epigenomics A.-G., Germany

PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			APPLICATION NO.	DATE				
WO 2001012642	A2	20010222	WO 2000-DE2755	20000810				
WO 200101264:	A3	20010607		•				
			BA, BB, BG, BR, BY,	BZ, CA, CH, CN,				
CR, C	U, CZ, DE, D	OK, DM, DZ,	EE, ES, FI, GB, GD,	GE, GH, GM, HR,				
HU.	D. IL. IN. I	S, JP, KE,	KG, KP, KR, KZ, LC,	LK, LR, LS, LT,				
•			MW, MX, MZ, NO, NZ,					
•			TM, TR, TT, TZ, UA,					
•			KZ, MD, RU, TJ, TM	10, 10, 11, 11,				
•			SL, SZ, TZ, UG, ZW,	AT. BE. CH. CY.				
			IE, IT, LU, MC, NL,					
			ML, MR, NE, SN, TD,					
· ·								
DE 19938092	AI	20010222	DE 1999-19938092	19990812				
EP 1325016	A2	20030709	EP 2000-962214	20000810				
R: AT, I	E, CH, DE, D	OK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,				
IE, S	I, LT, LV, F	I, RO, MK,	CY, AL					
PRIORITY APPLN. II	FO.:		DE 1999-19938092	A 19990812				
			WO 2000-DE2755	W 20000810				
OTHER SOURCE(S):	MARPA	AT 134:17876	57					

Disclosed are novel nucleoside derivs. of general formula [(I); R = nucleobase or nucleobase with at least one protective group; R1 = H, P(N(C(CH3)2)2)O(CH2)2CN; R2 = H, alkyl; R3 = H, NO2, alkyl; R4, R5 = independently, H, alkyl, alkoxy; or together = -OCH2O-; R6 = H, alkyl], which can easily be split by means of UV light and can be used for synthesis of oligonucleotides. Thus, 2,6-dinitrotoluene was treated with DMSO and KOC(CH3)3 in HOC(CH3)3 to give 2,6(NO2)2C6H3CH2CH2OH, which was condensed with Cl2C(S) to give the thiocarbonyl chloride, which was reacted with thymidine to give I (R = thymine; R1, R2, R4, R5, R6 = H; R3 = NO2) in 30% yield. An example of photolysis of I (R = thymine; R1 - R6 = H) was given.

IT 325975-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of nucleoside derivs. capable of undergoing UV-photolysis for
 oligonucleotide synthesis)

Ι

RN 325975-03-9 CAPLUS

CN Thymidine, 5'-[0-[2-(2-nitrophenyl)ethyl] carbonothioate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 325975-00-6P 325975-02-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of nucleoside derivs. capable of undergoing UV-photolysis for oligonucleotide synthesis)

RN 325975-00-6 CAPLUS

CN Thymidine, 5'-[0-[2-(2,6-dinitrophenyl)ethyl] carbonothioate] (9CI) (CA INDEX NAME)

10/764,989 30/08/2006

RN 325975-02-8 CAPLUS
CN Thymidine, 5'-[O-[2-(2-nitrophenyl)propyl] carbonothioate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:742107 CAPLUS

DOCUMENT NUMBER: 133:282022

TITLE: Preparation of nucleoside derivatives with

3'O-photo-unstable protective groups for use in

nucleic acid chip production

INVENTOR(S): Beier, Markus; Hoheisel, Jorg

PATENT ASSIGNEE(S): Deutsches Krebsforschungszentrum Stiftung des

Offentlichen Rechts, Germany

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIN	D 1	DATE		APPLICATION NO.							DATE			
WO 2000061594					A2		2000	1019	WO 2000-DE1148							20000407			
WO 2000061594					A3		2002	0404											
	W:	ΑL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DK,		
		EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
		KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,		
		MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,		
		TT,	UA,	UG,	US,	UΖ,	VN,	YU,	zw										
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,		
		DK.	ES.	FT	FR.	GB.	GR.	TE.	TT.	T.II.	MC.	NI.	PT.	SE.	BF.	BJ.	CF.		

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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             DE 1999-19915867
                                                                     19990408
                                20001019
     DE 19915867
                          A1
                                20010802
                                             DE 2000-10003631
                                                                     20000128
    DE 10003631
                          A1
                                             AU 2000-50598
                                                                     20000407
                          A5
                                20001114
     AU 2000050598
                                             EP 2000-934905
                                                                     20000407
                                20020612
                          A2
     EP 1212338
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
                                                                     20020221
                                20040629
                                             US 2002-958610
                          B1
     US 6756492
                                             DE 1999-19915867
                                                                  A 19990408
PRIORITY APPLN. INFO.:
                                                                  A 20000128
                                             DE 2000-10003631
                                                                     20000407
                                             WO 2000-DE1148
                         CASREACT 133:282022; MARPAT 133:282022
OTHER SOURCE(S):
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· 100 / 100

The present invention relates to nucleoside derivs. [(I);R, R1, R2, R3, R6 AB = (independently) H, NO2, CN, OMe, halogen, alkyl, alkoxy, alkoxyalkyl, (un) substituted aryl, acyl; R4 = dimethoxytrityl, other protecting group, functional group for preparation of oligonucleotides; R5 = H, OH, X2R7; X2 = O, S; R7 = alkyl, alkoxyalkyl, (un) substituted aryl, acyl; n = 0, 1; X = SO2, OC(O), OC(S); Base = (un)protected natural or unnatural purine or pyrimidine base or 5-amino-4-imidazolaminocarbonyl-3-yl] with photo-labile protecting groups, useful for preparing nucleic acid chips with free 3'-OH groups for use with PCR or ligase reactions. Thus, protected deoxythymidine nucleoside was reacted with activated protecting group (preparation given) to give I [R, R1, R2 R5, R6 = H; R3 = CH3; R4 = (MeO-4-C6H4) 2 (Ph) C-; Base = N4-C(O) CH2O-4-C6H4-C(CH3) 3-cytosine}, which was 5'-deprotected and reacted with 2-cyanoethyl-N,N,N',N'tetraisopropylphosphordiamidate to give I [R, R1, R2, R3, R5, R6 as given; R4 = P(N(CH(CH3)2)2)(OCH2CH2CN)(II)], which could then be 3'-deprotected (no data). Examples were given (no data) of the use of II-type compds. for the preparation of DNA chains on solid support (DNA chips) for use in, e.g., polymerase chain reactions to generate DNA mols. for use as fluorescent probes capable of hybridizing with sample DNA chains. IT 298699-71-5P

Ι

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside derivs. with 3'0-photo-unstable protecting groups for use in nucleic acid chip production)

RN 298699-71-5 CAPLUS

CN Thymidine, 3'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:514893 CAPLUS

DOCUMENT NUMBER:

131:243502

TITLE:

New photolabile protecting groups of the 2-(2-nitrophenyl)ethoxycarbonyl- and the 2-(2-nitrophenyl)ethylsulfonyl-type for the

oligonucleotide synthesis

AUTHOR (S):

Buhler, S.; Giegrich, H.; Pfleiderer, W.

CORPORATE SOURCE:

Fakultat fur Chemie, Universitat Konstanz, Konstanz,

D-78457, Germany

SOURCE:

Nucleosides & Nucleotides (1999), 18(6 & 7), 1281-1283

 $\label{eq:continuous} \chi_{\mathbf{p}}^{\mathbf{p},\mathbf{k}} = (\mathbf{r}_{1}, \dots, \mathbf{r}_{k}) - (\mathbf{r}_{k}, \dots, \mathbf{r}_{k})$

CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER:

Marcel Dekker, Inc.

DOCUMENT TYPE: LANGUAGE:

Journal English

AB A symposium on new photolabile blocking groups that have been synthesized and introduced into the 5'-OH position of thymidine. The 5'-O-protected thymidines were irradiated at 365 nm under identical conditions and the half-lives and thymidine yields were determined to investigate the influence of different substituents in the two corresponding series.

IT 179691-36-2P 179691-39-5P 189216-59-9P

244140-78-1P 244140-79-2P 244140-80-5P

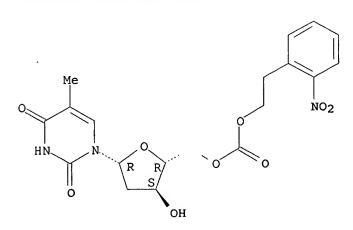
RL: SPN (Synthetic preparation); PREP (Preparation)

(new photolabile protecting groups of the (nitrophenyl)ethoxycarbonyl and the (nitrophenyl)ethylsulfonyl-type for oligonucleotide synthesis)

RN 179691-36-2 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179691-39-5 CAPLUS

CN Thymidine, 5'-[2-(2-chloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

189216-59-9 CAPLUS RNCN

Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 244140-78-1 CAPLUS

Thymidine, 5'-[2-(4-chloro-2-nitrophenyl)propyl carbonate] (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

244140-79-2 CAPLUS RN

Thymidine, 5'-[2-(4-bromo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX CN

RN 244140-80-5 CAPLUS

CN Thymidine, 5'-[2-(4-iodo-2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:667151 CAPLUS

DOCUMENT NUMBER: 129:343670

TITLE: New photolabile protecting groups in nucleoside and

nucleotide chemistry - synthesis, cleavage mechanisms

and applications

AUTHOR(S): Giegrich, H.; Eisele-Buhler, S.; Hermann, Chr.;

Kvasyuk, E.; Charubala, R.; Pfleiderer, W.

CORPORATE SOURCE: Fakultat fur Chemie, Universitat Konstanz, Konstanz,

D-78434, Germany

SOURCE: Nucleosides & Nucleotides (1998), 17(9-11), 1987-1996

CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB New photolabile protecting groups have been found in the

2-(2-nitrophenyl)ethoxycarbonyl and the 2-(2-nitrophenyl)ethylsulfonyl group, resp. The influence of substituents at the Ph ring as well as the side-chain has been investigated regarding the photolysis rates on irradiation at 365 mm. 6-Branching in the side-chain leads to highly increased

at 365 mm. β -Branching in the side-chain leads to highly increased rates of photo-deprotection. A new type of photo-cleavage mechanism consisting of a photoinduced β -elimination process is proposed.

IT 111244-91-8 179691-36-2 179691-37-3

179691-38-4 179691-39-5 179691-40-8

179691-41-9 179691-42-0 179691-43-1

179691-44-2 189216-59-9 215600-48-9

215600-49-0 215600-50-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(photochem. elimination and bond cleavage of nucleosides and

nucleotides using nitrophenylethoxycarbonyl and nitrophenylethylsulfonyl as protecting groups)

RN 111244-91-8 CAPLUS

CN Thymidine, 5'-[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-36-2 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-37-3 CAPLUS

CN Thymidine, 5'-[2-(2,6-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

RN 179691-38-4 CAPLUS
CN Thymidine, 5'-[2-(2-fluoro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-39-5 CAPLUS
CN Thymidine, 5'-[2-(2-chloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 179691-40-8 CAPLUS

CN Thymidine, 5'-[2-(2-bromo-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-41-9 CAPLUS

CN Thymidine, 5'-[2-(4-chloro-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-42-0 CAPLUS

CN Thymidine, 5'-[2-(5-methoxy-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

RN 179691-43-1 CAPLUS

CN Thymidine, 5'-[2-(2,4-dichloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} O_2N \\ \\ O \\ \\ O$$

RN 179691-44-2 CAPLUS

CN Thymidine, 5'-[2-(4,5-dimethoxy-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

RN 189216-59-9 CAPLUS CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215600-48-9 CAPLUS CN Thymidine, 5'-[2-(4-cyano-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215600-49-0 CAPLUS
CN Thymidine, 5'-[2-chloro-2-(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

30/08/2006

Absolute stereochemistry.

10/764,989

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{HN} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{OH} \\ \end{array}$$

RN 215600-50-3 CAPLUS

CN Thymidine, 5'-[2-methoxy-2-(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:216197 CAPLUS

DOCUMENT NUMBER: 126:305727

TITLE: Photolabile protecting groups for nucleosides:

synthesis and photo-deprotection rates

AUTHOR(S): Hasan, Ahmad; Stengele, Klaus-Peter; Giegrich, Heiner;

Cornwell, Paul; Isham, Kenneth R.; Sachleben, Richard

A.; Pfleiderer, Wolfgang; Foote, Robert S.

CORPORATE SOURCE: Biology Div., Oak Ridge National Lab., Oak Ridge, TN,

37831-8080, USA

SOURCE: Tetrahedron (1997), 53(12), 4247-4264

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

O-Nitrobenzyloxycarbonyl and a number of related groups have been tested for the photolabile protection of nucleoside 5'-hydroxyls. The rates of photo-deprotection vary by approx. 17-fold in a series of 5'-O-protected thymidine derivs. irradiated at 365 nm under identical conditions. The homologous 2-(o-nitrophenyl)ethoxycarbonyl group and its derivs. were found to be removed approx. 2-fold faster than the corresponding o-nitrobenzyloxycarbonyl group, possibly due to an increased rate of α -hydrogen abstraction by the photo-excited nitro group. Photolysis rates were affected by substitutions on both the Ph ring and α -carbon, with the strongest rate enhancements caused by the presence of a Me or second o-nitrophenyl group in the α -position . Among the ring-substituted derivs. studied, o-nitro and o-iodo had the strongest enhancement effects on photodeprotection, while an o-fluoro

10/764,989 30/08/2006

group reduced the rate of photodeprotection. In general, substitution at other positions on the Ph ring had less effect on photolysis rates.

IT 179691-36-2P 179691-37-3P 179691-38-4P

179691-39-5P 179691-40-8P 179691-42-0P

179691-43-1P 189216-58-8P 189216-59-9P

189216-64-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nitrobenzyloxycarbonyl photolabile protecting group for nucleosides preparation and photo-deprotection rates)

RN 179691-36-2 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-37-3 CAPLUS

CN Thymidine, 5'-[2-(2,6-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-38-4 CAPLUS

CN Thymidine, 5'-[2-(2-fluoro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

RN 179691-39-5 CAPLUS
CN Thymidine, 5'-[2-(2-chloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-40-8 CAPLUS
CN Thymidine, 5'-[2-(2-bromo-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-42-0 CAPLUS

CN Thymidine, 5'-[2-(5-methoxy-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-43-1 CAPLUS

CN Thymidine, 5'-[2-(2,4-dichloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} O_2N \\ \\ O \\ \\ O$$

RN 189216-58-8 CAPLUS

CN Thymidine, 5'-[2-(2-iodo-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

RN 189216-59-9 CAPLUS
CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 189216-64-6 CAPLUS
CN Thymidine, 5'-[2,2-bis(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

125:143236

ACCESSION NUMBER:

1996:483513 CAPLUS

DOCUMENT NUMBER: TITLE:

Preparation of nucleoside derivatives with photolabile

protecting groups.

10/764,989 30/08/2006

INVENTOR(S): Pfleiderer, Wolfgang; Giegrich, Heiner

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 23 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA			DATE		AP	APPLICATION NO.			DATE						
DE	DE 4444996					1996	0620	DE	1994-	4444	996		1	 L9941	216
	220791							CA						19951	215
	961863					1996	0620	WO	1995-	EP49	76		1	19951	215
	0 9618634														
	W: A	U, BR	, CA,	CZ,	FI,	HU,	JP,	KR, M	X, NO,	PL,	SK,	US			
	RW: A	T, BE	, CH,	DE,	DK,	ES,	FR,	GB, G	R, IE,	IT,	LU,	MC,	NL,	PT,	SE
AU	964386	5		A1		1996	0703	AU	1996-	4386	5		1	19951	215
	692658														
EP	797580			A2		1997	1001	EP 1995-942675						19951	215
EP	797580			B1		2002	0410								
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нU	77176			A2		1998	0302	HU	1997-	1821			1	19951	215
HU	77176 215543			В		1999	0128								
BR	951049	8		Α		1999	1130	BR	1995-	1049	8		1	19951	215
IL	116407			A1		2001	0913	ΙL	1995-	1164	07		-	19951	215
AT	215957			E		2002	0415	AT	1995-	9426	75		-	19951	215
ES	217497	6		Т3		2002	1116	ES	1995-	9426	75		-	19951	215
CZ	292296			В6		2003	0813	CZ	1997-	1836			-	19951	215
US	576359	9		Α		1998	0609	US	1996-	6932	17		-	19960	809
NO	970275	4		Α		1997	0811	NO	1997-	2754				19970	613
NO	307382			B1		2000	0327								
FI	970364	3		Α		1997	0909	FI	1997-	3643			-	19970	909
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								WO	1995-	EP49	76	1	W :	19951	215
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OTHER SOURCE(S):

MARPAT 125:143236

GΙ

$$R^2$$
 R^3
 R^4
 R^4
 R^5
 R^6
 R^6

Title compds. [I; R1 = H, NO2, CN, OMe; R2 = H, OMe; R3 = H, F, Cl, Br, NO2; R5 = H, NCCH2CH2OPN(R7)2, p-O2NC6H4CH2CH2OPN(R7)2; R7 = alkyl; R6 = H, OH, alkoxy, alkenyloxy, or acetal, silyl ether protecting groups; B = (protected) adenine, cytosine, guanine, thymine, uracil residues], were prepared Thus, thymidine in pyridine was treated with 2-(2-nitrophenyl)ethoxycarbonyl chloride (preparation given) to give 5'-O-[2-(2-nitrophenyl)ethoxycarbonyl]thymidine. the latter showed t1/2 = 2.6 min. for photodeprotection using a high pressure Hg lamp.

1T 179691-36-2P 179691-37-3P 179691-38-4P 179691-39-5P 179691-40-8P 179691-41-9P 179691-42-0P 179691-43-1P 179691-44-2P 179691-45-3P 179691-46-4P 179691-47-5P 179691-53-3P 179691-54-4P 179691-55-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

At the way the series

(Reactant or reagent)

(preparation and photodeprotection; preparation of nucleoside derivs. with photolabile protecting groups)

RN 179691-36-2 CAPLUS

CN Thymidine, 5'-[2-(2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-37-3 CAPLUS

CN Thymidine, 5'-[2-(2,6-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} O_2N \\ \\ NO_2 \\ \\ O \\ \\$$

RN 179691-38-4 CAPLUS

CN Thymidine, 5'-[2-(2-fluoro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

RN 179691-39-5 CAPLUS

CN Thymidine, 5'-[2-(2-chloro-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} O_2N \\ \\ O \\ \\ O$$

RN 179691-40-8 CAPLUS

CN Thymidine, 5'-[2-(2-bromo-6-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-41-9 CAPLUS

CN Thymidine, 5'-[2-(4-chloro-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-42-0 CAPLUS
CN Thymidine, 5'-[2-(5-methoxy-2-nitrophenyl)ethyl carbonate] (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} O_2N \\ \\ O \\ \\ N \\ \\ O \\ \\ O$$

RN 179691-44-2 CAPLUS
CN Thymidine, 5'-[2-(4,5-dimethoxy-2-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-45-3 CAPLUS
CN Thymidine, 5'-[2-(2-nitrophenyl)propyl carbonate], (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 179691-47-5 CAPLUS

CN Thymidine, 5'-[2-methoxy-2-(2-nitrophenyl)ethyl carbonate], (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-53-3 CAPLUS

CN Thymidine, 5-[2-(2-nitrophenyl)propyl carbonate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179691-54-4 CAPLUS

CN Thymidine, 5'-[2-chloro-2-(2-nitrophenyl)ethyl carbonate], (S)- (9CI) (CA INDEX NAME)

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RN 179691-55-5 CAPLUS

CN Thymidine, 5'-[2-methoxy-2-(2-nitrophenyl)ethyl carbonate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:409092 CAPLUS

DOCUMENT NUMBER: 119:9092

TITLE: Nucleosides. Part LI. The 2-(4-

nitrophenyl)ethoxycarbonyl (npeoc) and

2-(2,4-dinitrophenyl)ethoxycarbonyl (dnpeoc) groups

for protection of hydroxy functions in ribonucleosides

and 2'-deoxyribonucleosides

AUTHOR(S): Schirmeister, Helga; Himmelsbach, Frank; Pfleiderer,

Wolfgang

CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Germany

SOURCE: Helvetica Chimica Acta (1993), 76(1), 385-401

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The common 2'-deoxypyrimidine and -purine nucleosides, thymidine,

O4-[2-(4-nitrophenyl)ethyl]thymidine, 2'-deoxy-N4-[2-(4-nitrophenyl)ethoxycarbonyl]cytidine, 2'-deoxy-N6-[2-(4-nitrophenyl)-

ethoxycarbonyl]adenosine, and 2'-deoxy-N2-[2-(4-

nitrophenyl)ethoxycarbonyl]-06-[2-(4-nitrophenyl)ethyl]-guanosine were

further protected by the 2-(4-nitrophenyl)ethoxycarbonyl and the

2-(2,4-dinitrophenyl)ethoxycarbonyl group at the OH functions of the sugar

moiety to form new partially and fully blocked intermediates for

nucleoside and nucleotide syntheses. The newly synthesized compds. were

characterized by elemental analyses and UV and 1H NMR spectra.

IT 111244-91-8P 112138-22-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 111244-91-8 CAPLUS

CN Thymidine, 5'-[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 112138-22-4 CAPLUS

CN Thymidine, 3'-[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:38280 CAPLUS

DOCUMENT NUMBER: 108:38280

TITLE: New protecting groups in nucleoside and nucleotide

chemistry

AUTHOR(S): Pfleiderer, W.; Schirmeister, H.; Reiner, T.; Pfister,

M.; Charubala, R.

CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Fed.

Rep. Ger.

SOURCE: Bioactive Molecules (1987), 3 (Biophosphates Their

Analogues), 133-42

CODEN: BMOLEY; ISSN: 0921-0687

DOCUMENT TYPE: Journal LANGUAGE: English

Various β -heteroarylethyl groups were developed as a new set of phosphate protecting groups. Cleavage proceeds by β -elimination due to activation of the β -hydrogen atoms by the ring nitrogens of the heterocycle. Sugar hydroxyl groups can effectively be blocked by the p-nitrophenylethoxycarbonyl (NPEOC) and the 2,4-dinitrophenylethoxycarbonyl (DNPEOC) group to give carbonates of different stability. Selective deprotection of the DNPEOC over the NPEOCv residue can be achieved. The o-nitrophenylethyl group is not only prone to β -elimination cleavage but also to photolytic removal. The p-nitrophenylethylsulfonyl (NPES) group is a new OH-protecting group especially suitable for blocking the 2'-OH position in ribonucleosides. Stable

30/08/2006 10/764,989

2'-sulfonates are formed, which do not show intramol. acyl migration but undergo β -elimination on removal.

111244-91-8P 112138-22-4P ΙT

RL: SPN (Synthetic preparation); PREP (Preparation)

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(preparation of)

RN111244-91-8 CAPLUS

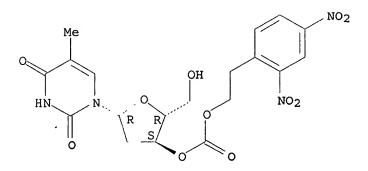
Thymidine, 5'-[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX CN

Absolute stereochemistry.

RN 112138-22-4 CAPLUS

Thymidine, 3'-[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.



CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 28 OF 28

ACCESSION NUMBER: 1987:618016 CAPLUS

DOCUMENT NUMBER: 107:218016

Preparation of 5'-acylated deoxyribonucleosides as TITLE:

intermediates in oligonucleotide synthesis

INVENTOR(S): Pfleiderer, Wolfgang

PATENT ASSIGNEE(S): Fed. Rep. Ger. SOURCE: Ger. Offen., 8 pp. CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3606395	A1	19870903	DE 1986-3606395	19860227

PRIORITY APPLN. INFO.:

DE 1986-3606395

19860227

學精 " 」 6 文 智權 唐

OTHER SOURCE(S): CASREACT 107:218016

AB 2'-Deoxyribonucleosides protected at the 5'-position by a base-labile group were prepared for use in synthesis of oligodeoxyribonucleotides. Thus, (2,4-dinitrophenyl)ethyl chloroformate in CH2Cl2 was added to thymidine in pyridine at 0° to give 56% 5'-[O-(2,4-dinitrophenyl)ethoxycarbonyl]thymidine.

The second of th

IT 111244-91-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 111244-91-8 CAPLUS

CN Thymidine, 5'-[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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SINCE FILE TOTAL ENTRY SESSION 144.92 317.19

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

-21.00 -21.00

FILE 'HOME' ENTERED AT 18:34:26 ON 29 AUG 2006

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(FILE 'HOME' ENTERED AT 18:29:47 ON 29 AUG 2006)

FILE 'REGISTRY' ENTERED AT 18:29:58 ON 29 AUG 2006

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 88 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:32:19 ON 29 AUG 2006 28 S L3

FILE 'HOME' ENTERED AT 18:34:26 ON 29 AUG 2006

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L4

L1 HAS NO ANSWERS

L1 STR

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

=> d HIS

(FILE 'HOME' ENTERED AT 12:30:16 ON 30 AUG 2006)

FILE 'REGISTRY' ENTERED AT 12:30:30 ON 30 AUG 2006

L1 STRUCTURE UPLOADED

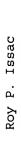
L2 2 S L1 SSS SAM

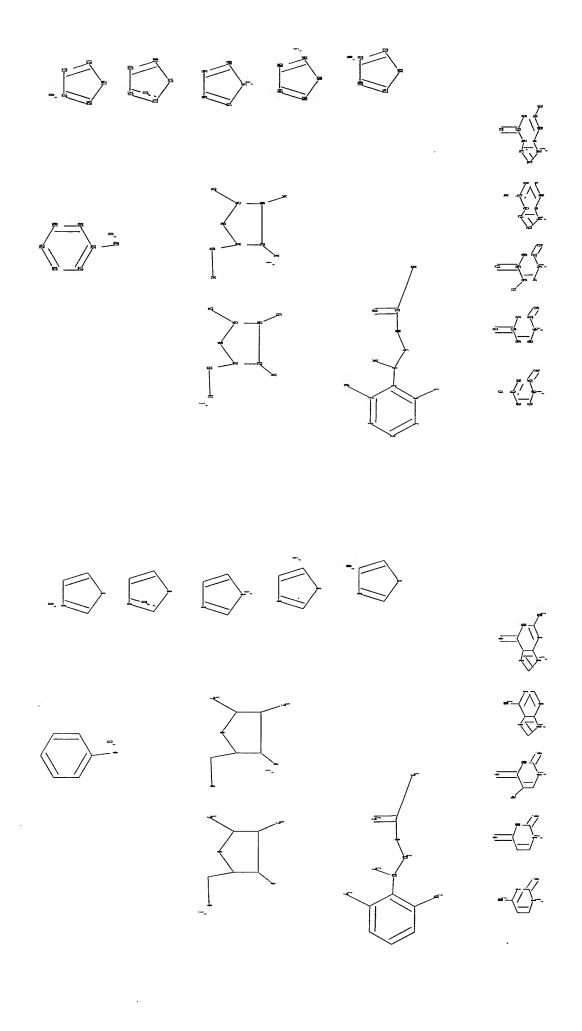
L3 56 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:32:39 ON 30 AUG 2006

L4 29 S L3

L5 22 S L4 AND 1800<=PY<=2003





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chain nodes :
7 8 9 10 11 12 14 16 23 24 25 26 33 34 35 36 46 47 54 55 62
63 64 74 84 85 92 93 94 132
ring nodes :
         5 6 18 19 20 21 22 28 29 30 31 32 40 41 42 43 44 45
48 49 50 51 52 53 56 57 58 59 60 61 65 66 67 68 69 70 71 72 73
         78
      77
79 80 81 82 83
                                                 106 108 109 110
                96
                       98 99 100 102 103
                                         104
                                             105
111 112 114
            115
116 117 118 119
                    121 122 123
                                 126 127
                                             129
               120
                                         128
                                                  130
chain bonds :
2-14 3-8 4-7 8-9 8-16 9-10 10-11 11-12 11-92 19-25 20-93 21-26 22-23
23-24 29-35 30-94 31-36 32-33 33-34 43-47 45-46 51-55 53-54 58-64 59-63
61-62 73-74
81-85 83-84 126-132
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-22 19-20 20-21 21-22 28-29 28-32 29-30
            40-45 40-41 41-42 42-43 43-44 44-45 48-53 48-49
30-31 31-32
                                                                49-50 50-51
51-52 52-53
56-61 56-57
            57-58 58-59 59-60 60-61 65-66 65-67 66-68 67-69 68-69 68-70
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      70-71
            75-76 75-77 76-78 77-79 78-79 78-80 79-83 80-81 81-82 82-83
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96-97
      96-100
97-98 98-99
            99-100 102-103 102-106 103-104 104-105 105-106 108-109 108-112
109-110 110-111
111-112 114-115 114-118 115-116 116-117 117-118 119-120 119-123 120-121
121-122 122-123
126-127 126-131 127-128 128-129 129-130 130-131
exact/norm bonds :
2-14 8-16 10-11 11-12 11-92 18-19 18-22 19-20 19-25 20-21 20-93 21-22
21-26 23-24 28-29 28-32 29-30 29-35 30-31 30-94 31-32 31-36 33-34 40-45
40-41 41-42
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     43-44
            43-47
                  44-45 45-46 48-53 48-49 49-50 50-51 51-52 51-55 52-53
     56-61 56-57
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     58-59
            59-60 59-63 60-61 61-62 65-66 65-67 66-68 67-69 73-74 75-76
75-77
      76-78
77-79 78-79 78-80 79-83 80-81 81-82 81-85 82-83 83-84 96-97 96-100 97-98
98-99 99-100
102-103 102-106 103-104 104-105 105-106 108-109 108-112 109-110 110-111
111-112
       114-115
114-118
        115-116 116-117 117-118 119-120 119-123 120-121 121-122 122-123
126-132
exact bonds :
3-8 4-7 8-9 9-10 22-23 32-33 58-64
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 68-69 68-70 69-73 70-71 71-72 72-73 126-127
126-131 127-128 128-129 129-130 130-131
G1:H,Cl,Br,F,I,NO2
G2:H, MeO, Ak
G3:0,S
G4:0,S
G5:H,N
G6: [*1], [*2], [*3], [*4], [*5]
G7:S,Si,Cl,Br,F,I,[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 14:CLASS 16:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:CLASS
24:CLASS 25:CLASS 26:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:CLASS
34:CLASS 35:CLASS
36:CLASS 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:CLASS 47:CLASS
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60:Atom 61:Atom
                62:CLASS 63:CLASS 64:CLASS 65:Atom 66:Atom 67:Atom 68:Atom
69:Atom
        70:Atom
71:Atom
        72:Atom 73:Atom 74:CLASS 75:Atom 76:Atom 77:Atom 78:Atom 79:Atom
80:Atom 81:Atom
82:Atom 83:Atom
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97:Atom 98:Atom
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L4 29 L3

=> S L4 AND 1800<=PY<=2003 23862460 1800<=PY<=2003

L5 22 L4 AND 1800<=PY<=2003

=> d l5 ibib abs hitstr 1-22

L5 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:827089 CAPLUS

DOCUMENT NUMBER: 140:77372

TITLE: Synthesis of photolabile 2-(2-

nitrophenyl)propyloxycarbonyl protected amino acids
AUTHOR(S): Bhushan, Kumar R.; DeLisi, Charles; Laursen, Richard

Α.

CORPORATE SOURCE: Department of Biomedical Engineering, Boston

University, Boston, MA, 02215, USA

SOURCE: Tetrahedron Letters (2003), 44(47),

8585-8588

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:77372

AB The 2-(2-nitrophenyl)propyloxycarbonyl (NPPOC) group has been introduced as a photolabile amino protecting group for amino acids to be used as

building blocks in photolithog. solid-phase peptide synthesis.

NPPOC-protected amino acids were found to be cleaved in the presence of UV light about twice as fast as the corresponding o-nitroveratryloxycarbonyl (NVOC)-protected amino acids.

IT 179691-31-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of photolabile (nitrophenyl)propyloxycarbonyl-protected amino acids)

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:396770 CAPLUS

DOCUMENT NUMBER: 138:381665

TITLE: Biochip substrates and method for the synthesis of

biopolymer arrays on the substrate

INVENTOR(S):
Klapproth, Holger; Lehmann, Mirko; Freund, Ingo;

Stuerken, Joachim

PATENT ASSIGNEE(S): Micronas G.m.b.H., Germany; Biochip Technologies

G.m.b.H.

SOURCE: PCT Int. Appl., 42 pp.

宝一、刘思力的社会人

CODEN: PIXXD2

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DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				ICAT:		DATE						
						-									-				
WC	2003	0418	53		A1		2003	0522	1	WO 2	002-1	EP12	606		2	0021	112 <		
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,		
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							TM,												
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ΕĒ	1441	847			A1		2004	0804		EP 20	002-	7876	41		2	0021	112		
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US	2005	•		-	-	-	-	-		-	•		-			0041	126		
	PRIORITY APPLN. INFO.:					20050551				DE 2001-10156467									
	•					WO 2002-EP12606													

The invention concerns polymeric biochip substrates that incorporate light AB sources (LEDs or laser diodes) and that have reactive starter groups on their surface, preferably OH-groups; the OH groups are protected with non-reactive groups; biopolymers are synthesized on the surface of the substrate in a multistep procedure. Regions of the chip matrix are selectively activated by the cleavage of the protecting groups using the built in light source; biomonomers with protecting groups are added and coupled; the procedure is repeated until the required biopolymer arrays are synthesized. The process is controlled by a computer. Cleavage of the protecting groups can be also initiated by pH changes via electrodes. Monomers are nucleotides, oligonucleotides, amino acids, peptides, saccharides etc. An example is presented for silanizing a CMOS sensor with glycidoxypropyltrimethoxysilane (GOPS), hydroxy-functionalizing with ethylene glycol in the presence of sulfuric acid traces and protecting the OH-groups with p-nitrophenyl-ethoxycarbonyl (pNPEOC)-groups. Biopolymers were synthesized on the chip surface under UV radiation using com. available pNPEOC-protected nucleotides.

IT 179691-27-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(protective group; biochip substrates and method for synthesis of biopolymer arrays on substrate)

RN 179691-27-1 CAPLUS

CN Carbonochloridic acid, 2-(5-methoxy-2-nitrophenyl)ethyl ester (9CI) (CAINDEX NAME)

$$\begin{array}{c|c} NO_2 & O \\ \parallel \\ CH_2 - CH_2 - O - C - C1 \\ \hline \\ OMe \end{array}$$

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN L5

ACCESSION NUMBER: DOCUMENT NUMBER:

2003:221699 CAPLUS

TITLE:

Process for the synthesis of pyrazolopyrimidine nucleosides via halogenation reaction and using

photolabile hydroxy protecting groups
Dempcy, Robert O.; Adams, A. David; Reed, Michael W. INVENTOR(S):

PATENT ASSIGNEE(S): Epoch Biosciences, Inc., USA

SOURCE:

PCT Int. Appl., 34 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

138:221790

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WC	2003	0228	59		A2		2003	0320	1							0209	905 <		
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110	2002	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
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	1427									EP 20	002-	76625	51		20	00204	905		
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	2004																		
	2006				A1		2006	0119											
PRIORIT	Y APP	LN.	INFO	. :					US 2001-954624 WO 2002-US28476 US 2004-816747							W 20020905			
OTHER S	OURCE	(S):			CASREACT 138:221				1790; MARPAT 138:221790										

I

GI

II

The present invention provides a nucleosides comprising a pyrazolopyrimidine base I and a process for producing the same. In particular, the processes of the present invention comprises using a halogenated pyrazolopyrimidine base and removing the halogen after the base is coupled to a sugar moiety. The presence of the halogen on the nucleoside base allows facile and economical production of a large quantity of nucleosides. Thus, II was prepared via halogenation reaction and using photolabile hydroxy protecting groups.

IT 179691-31-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(process for synthesis of pyrazolopyrimidine nucleosides via
halogenation reaction and using photolabile hydroxy protecting groups)
179691-31-7 CAPLUS

Carbon shipping a goid 2 (2 nitrophory) propyl octor (SCI) (CA INDEX

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN

L5 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:58099 CAPLUS

DOCUMENT NUMBER: 138:90025

TITLE: Synthesis of oligodeoxyribonucleotides via

condensation of nucleoside phosphoramidites Stengele, Klaus-Peter; Pfleiderer, Wolfgang

INVENTOR(S): Stengele, Klaus-Peter; Pfleid PATENT ASSIGNEE(S): Chemogenix G.m.b.H., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE				APPL	ICAT	ION I	DATE				
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,
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MARPAT 138:90025 OTHER SOURCE(S):

The present invention relates to a process for the preparation of polynucleotides, whereby under suitable usual conditions the free 5'-hydroxy group, whose terminal 3'-hydroxy group contains a usual protecting group, is reacted with a hydroxy group, derivatized in a previous reaction step to a phosphite amido-ester, phosphotriester or phosphonic acid ester, whereby said hydroxy group is a 3'-hydroxy function of a free or solid phase bound polynucleotide, or a solid phase bound hydroxy function. Further the present invention relates to a kit for performing a process according to the invention, which contains at least one or more selected oligonucleotides, having a free 5'-hydroxy group and a protected 3'-hydroxy group. Further on, the present invention relates to new oligonucleotides and their use as building blocks for the synthesis of polynucleotides in the process according to the invention. Furthermore the present invention relates to the use of the process according to the invention or the use of the kits for the preparation of poly/oligonucleotides resp. polynucleotide libraries or nucleic acid chips. Thus, thymidyl- $\{3'-[OP-(2-cyanoethyl)]\rightarrow 5'\}-3'-0-[2-(2-cyanoethyl)]$ nitrophenyl)propyloxycarbonyl]thymidine was prepared in 82% yield by coupling of 5'-O-(4,4'-dimethoxytrityl)thymidine-3'-O-[(2-cyanoethyl)-N,Ndiisopropylphosphoramidite] with 3'-0-[2-(2-nitrophenyl)propyloxycarbonyl]

thymidine in presence of 4,5-dicyanoimidazole.

179691-31-7 IT

> RL: RCT (Reactant); RACT (Reactant or reagent) (synthesis of oliqodeoxyribonucleotides via condensation of nucleoside

phosphoramidites) 179691-31-7 CAPLUS

RNCarbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX CN NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

2003:42285 CAPLUS ACCESSION NUMBER:

138:90021 DOCUMENT NUMBER:

Synthesis of protecting groups containing photolabile TITLE:

groups for use in synthesis of nucleic acid

derivatives

Guimil, Ramon; Scheffler, Matthias; Stahler, Peer F.; INVENTOR(S):

Beijer, Barbro

Febit A.-G., Germany PATENT ASSIGNEE(S): PCT Int. Appl., 57 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	

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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
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                                 20040331
                                              EP 2002-754822
     EP 1401851
                           A1
                                                                      20020703
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     US 2004197851
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                                              US 2004-482744
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                                              DE 2001-10132025
PRIORITY APPLN. INFO.:
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                                              US 2001-314306P
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                                                                      20010824
                                              WO 2002-EP7389
                                                                  W
                                                                      20020703
OTHER SOURCE(S):
                          CASREACT 138:90021; MARPAT 138:90021
     The preparation of 5'-O-protecting groups for solid-phase oligodeoxynucleotide
     or -nucleotide synthesis, (e.g., in microarray chip production, no data), in
     which one part of the protecting group is photolabile and the remainder
     can be easily cleaved using mild chemical techniques, is claimed. Preparation
of
     protecting groups [I; (R-4-C6H4-)(R1-4-C6H4-)(R2-4-C6H4-)C-; R, R1 =
     independently H, OR3, O(CH2)nC(O)OR3; R3 = alkyl, alkenyl, alkynyl, aryl;
     R2 = photolabile protecting group; n = 0-4; R, R1 may = R2 or a
     fluorescent label] was given. For example, I-dThd-P(OCH2CH2CN)N(iPr)2 (R,
     R1, R2 = (CH3CH(O2N-2-C6H4)CH2OC(O)O-4-C6H4)3C-) was prepared in three steps
     by reaction of pararosolic acid with CH3CH(O2N-2-C6H4)CH2OC(O)Cl (the
     photolabile group) to give the tri-4-0-protected carbinol, which was
     directly reacted with thymidine to give product.
IT
     483981-03-9P 483981-04-0P 483981-05-1P
     483981-06-2P 483981-07-3P 483981-08-4P
     484023-70-3P 484023-71-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation and reaction of in the preparation of protecting groups
containing
        photolabile groups)
RN
     483981-03-9 CAPLUS
     Carbonic acid, 4-(hydroxydiphenylmethyl)phenyl 2-(2-nitrophenyl)propyl
CN
     ester (9CI) (CA INDEX NAME)
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RN 483981-04-0 CAPLUS

CN Carbonic acid, 4-[hydroxy(4-methoxyphenyl)phenylmethyl]phenyl 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 483981-05-1 CAPLUS

CN Carbonic acid, 4-[hydroxybis(4-methoxyphenyl)methyl]phenyl 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 483981-06-2 CAPLUS

CN Carbonic acid, (hydroxyphenylmethylene)di-4,1-phenylene bis[2-(2-nitrophenyl)propyl] ester (9CI) (CA INDEX NAME)

RN 483981-07-3 CAPLUS

CN Carbonic acid, [hydroxy(4-methoxyphenyl)methylene]di-4,1-phenylene bis[2-(2-nitrophenyl)propyl] ester (9CI) (CA INDEX NAME)

RN 483981-08-4 CAPLUS

CN Carbonic acid, (hydroxymethylidyne)tri-4,1-phenylene tris[2-(2-nitrophenyl)propyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 484023-70-3 CAPLUS

CN Thymidine, 5'-O-[tris[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]me thyl]- (9CI) (CA INDEX NAME)

RN

484023-71-4 CAPLUS
Adenosine, 2'-deoxy-N-(2,2-dimethyl-1-oxopropyl)-5'-O-[tris[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

IT 483981-09-5P 483981-10-8P 483981-11-9P
 483981-12-0P 483981-13-1P 484023-72-5P
 484023-73-6P 484023-74-7P 484023-75-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of protecting groups containing photolabile groups for use in synthesis of nucleic acid derivs. for microchip production)
RN 483981-09-5 CAPLUS
CN Thymidine, 5'-0-[[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]diphen ylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 483981-11-9 CAPLUS

CN Thymidine, 5'-0-[bis(4-methoxyphenyl)[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 483981-12-0 CAPLUS

CN Thymidine, 5'-O-[bis[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]phenylmethyl]- (9CI) (CA INDEX NAME)

RN 483981-13-1 CAPLUS

CN Thymidine, 5'-O-[(4-methoxyphenyl)bis[4-[([2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 484023-72-5 CAPLUS

CN Cytidine, 2'-deoxy-N-(2-methyl-1-oxopropyl)-5'-O-[tris[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 484023-73-6 CAPLUS
CN Guanosine, 2'-deoxy-N-(2-methyl-1-oxopropyl)-5'-O-[tris[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 484023-74-7 CAPLUS

CN Adenosine, 2'-deoxy-N-(2,2-dimethyl-1-oxopropyl)-5'-O-[tris[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]methyl]-, 3'-[2-cyanoethylbis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 484023-75-8 CAPLUS

CN Thymidine, 5'-O-[tris[4-[[[2-(2-nitrophenyl)propoxy]carbonyl]oxy]phenyl]me thyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

IT 179691-31-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of in the preparation of protecting groups containing photolabile groups)

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:658632 CAPLUS

DOCUMENT NUMBER: 135:371950

TITLE: Synthesis of photolabile 5'-O-phosphoramidites for the

photolithographic production of microarrays of

inversely oriented oligonucleotides

AUTHOR(S): Beier, Markus; Stephan, Achim; Hoheisel, Jorg D.

CORPORATE SOURCE: Functional Genome Analysis, Deutsches

Krebsforschungszentrum, Heidelberg, D-69120, Germany

SOURCE: Helvetica Chimica Acta (2001), 84(7),

2089-2095

CODEN: HCACAV; ISSN: 0018-019X Verlag Helvetica Chimica Acta

PUBLISHER: Verlag Helvetica Chim.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:371950

The photolabile 3'-O-{[2-(2-nitrophenyl)propoxy]carbonyl}-protected 5'-phosphoramidites were synthesized for an alternative mode of light-directed production of oligonucleotide arrays. Because of the characteristics of these monomeric building blocks, photolithog. in situ DNA synthesis occurred in 5' → 3' direction, in agreement with the

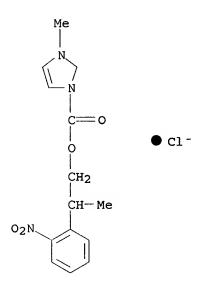
orientation of enzymic synthesis. Synthesis yields were as good as those of conventional reactions. The resulting oligonucleotides are attached to the surface via their 5'-termini, while the 3'-hydroxy groups are available as substrates for enzymic reactions such as primer extension upon hybridization of a DNA template. The production of such oligonucleotide chips adds new procedural avenues to the growing number of applications of DNA microarrays.

IT 298699-67-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of photolabile phosphoramidites for the photolithog. production of microarrays of inversely oriented oligonucleotides)

RN 298699-67-9 CAPLUS

CN 1H-Imidazolium, 1-methyl-3-[[2-(2-nitrophenyl)propoxy]carbonyl]-, chloride (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT:

PUBLISHER:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:561245 CAPLUS

DOCUMENT NUMBER: 135:289010

TITLE: Photolabile protecting groups for nucleosides:

mechanistic studies of the 2-(2-nitrophenyl)ethyl

group

AUTHOR(S): Walbert, Stefan; Pfleiderer, Wolfgang; Steiner, Ulrich

Ε.

CORPORATE SOURCE: Fachbereich Chemie der Universitat Konstanz, Konstanz,

D-78457, Germany

SOURCE: Helvetica Chimica Acta (2001), 84(6),

1601-1611

CODEN: HCACAV; ISSN: 0018-019X Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:289010

The photochem. of several 2-(2-nitrophenyl)ethyl-caged compds. including caged thymidine nucleosides was studied by nanosecond laser flash photolysis and stationary illumination expts. with quant. HPLC anal. for quantum yields and product distribution. Effects of solvent basicity and acidity were investigated by varying the H2O content and HCl concentration, resp., in MeCN/H2O mixts. For all compds. investigated, intramol. H

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abstraction by the nitro group from the exocyclic α -position with respect to the aryl moiety was found to be the primary process. The protolytic dissociation equilibrium of the resulting aci-nitro compound was kinetically characterized in the 0.1 - 10 μ s time region. In general, two reaction channels compete for the aci-nitro compound and its anion: β -elimination of the caged compound occurs from the anion, while from the undissociated aci-nitro compound, a nitrosobenzene derivative is formed

with

no release of the caged compound The yield ratio of these two reaction channels can be controlled through shifts in the protolytic dissociation equilibrium of the aci-nitro compound In solns. with either low basicity (H2O-free MeCN) or high acidity (higher concentration of HCl in H2O/MeCN), two

as

yet unidentified products are formed, each one specifically for one of the mentioned conditions.

IT 179691-31-7

RL: RCT (Reactant); RACT (Reactant or reagent) (mechanistic studies of the photolabile nitrophenylethyl protecting group for nucleosides)

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

IT 335201-61-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(mechanistic studies of the photolabile nitrophenylethyl protecting group for nucleosides)

RN 335201-61-1 CAPLUS

CN Carbonochloridic acid, 2-(5-bromo-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:319407 CAPLUS

DOCUMENT NUMBER: 134:311401

TITLE: Preparation and use of photo-labile 5'-O-protecting

groups for nucleosides for synthesis reactions

INVENTOR(S): Pfleiderer, Wolfgang; Buhler, Sigrid; Giegrich, Heiner

PATENT ASSIGNEE(S): Nigu Chemie G.m.b.H., Germany

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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	WO	2001	0326	71		A 1		2001	0510	1	WO 2	000-1	EP99	58		2	0001	010 <	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH.	CN,	
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AΒ The invention concerns synthesis and use of photo-labile 5'-0-protected nucleosides [(I); R = H, F, Cl, Br, I, NO2; R1 = H, CN, whereby R and R1 are not simultaneously H; R2 = H, alkyl, Ph; R3 = H or a usual functional group for the production of oligonucleotides; R4 = H, OH, halogen or XR5, whereby X = O or S and R5 represents a nucleotide protecting group; Base = adenine, cytosine, guanine, thymine, uracil, 2,6-diaminopurin-9-yl, hypoxanthin-9-yl, 5-methylcytosin-1-yl, 5-amino-4-imidazolcarbonic acid amid-1-yl or 5-amino-4-imidazolcarbonic acid amid-3-yl; for Base = adenine, cytosine or guanine, the primary amino function may be protected by a permanent protecting group] for use in photo-directed synthesis of oligonucleotides (no data) for use, e.g., in DNA chip technol. Thus, 3-ethylaniline was reacted with acetic anhydride to give 3-acetylamino-1-ethylbenzene (89%), which in turn gave 5-amino-1-ethyl-2-nitrobenzene (II) (28%) on reaction with sulfuric acid and potassium nitrate, along with other mono- and dinitrated compds. Treatment of II with concentrate HCl, followed by diazotization with NaN3 and

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further reaction with HCl and CuCl, gave 5-chloro-1-ethyl-2-nitrobenzene (III) (46%); using different acids gave iodo, bromo, and dinitro compds. as well. III was then reacted with paraformaldehyde and potassium tert.-butylate to give, after work-up, 2-(5-chloro-2-nitrophenyl)propanol (84%), which was treated with trichloromethyl chloroformate to give 2-(5-chloro-2-nitrophenyl)propoxy carbonyl chloride (IV) (97%). Treatment of N6-phenoxyacetyl-2'-deoxyadenosine with IV gave I (R = Cl, Rl = ,H, R2 = CH3, R3, R4 = H, Base = N6-phenoxyacetyladenine) (V) (74%). In photolysis expts., V had a half-life of 35 s. and a deprotection rate of 97% after 5 min; six other compds. ranged from 75% after 10 min. to 95% after 5 min.

IT 335201-60-0P 335201-61-1P 335201-62-2P 335201-63-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and use of 2-(2-nitrophenyl)alkoxycarbonyl derivs. as photo-labile 5'-O-protecting groups for ribo- or 2'-deoxy-ribo-nucleotides for photo-directed oligonucleotide synthesis)

RN 335201-60-0 CAPLUS

CN Carbonochloridic acid, 2-(5-chloro-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 335201-61-1 CAPLUS

CN Carbonochloridic acid, 2-(5-bromo-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 335201-62-2 CAPLUS

CN Carbonochloridic acid, 2-(5-iodo-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 335201-63-3 CAPLUS

Carbonochloridic acid, 2-(2,5-dinitrophenyl)propyl ester (9CI) (CA INDEX CN

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REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:221308 CAPLUS

DOCUMENT NUMBER:

134:367130

TITLE:

3'-Nitrophenylpropyloxycarbonyl (NPPOC) Protecting

Groups for High-Fidelity Automated 5'→3'

Photochemical DNA Synthesis

AUTHOR (S):

Pirrung, Michael C.; Wang, Laixin; Montague-Smith,

CORPORATE SOURCE:

Michael P. Department of Chemistry Levine Science Research

Center, Duke University, Durham, NC, 27708, USA

SOURCE:

Organic Letters (2001), 3(8), 1105-1108 CODEN: ORLEF7; ISSN: 1523-7060

American Chemical Society PUBLISHER:

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:367130

The most powerful DNA micro-arrays would be prepared by photolithog. with free 3'-ends that could be processed enzymically. A photo-removable group that could be removed in quant. yield would ensure high purity of the synthesized probes. We have developed new pyrimidine building blocks for 5'→3' DNA synthesis with high cycle yields using the NPPOC (3'-nitrophenylpropyloxycarbonyl) protecting group. These phosphoramidites were proved in automated photochem. DNA synthesis on a modified synthesizer.

179691-31-7P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(3'-nitrophenylpropyloxycarbonyl (NPPOC) protecting groups for high-fidelity automated 5'→3' photochem. DNA synthesis)

179691-31-7 CAPLUS RN

Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX CN NAME)

REFERENCE COUNT:

37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:137226 CAPLUS

DOCUMENT NUMBER:

134:178767

TITLE:

Preparation of nucleoside derivatives capable of

undergoing UV-photolysis for oligonucleotide synthesis

INVENTOR(S):

Berlin, Kurt

PATENT ASSIGNEE(S):

Epigenomics A.-G., Germany

SOURCE:

PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO	2001	0126	42		A2		2001	0222	1	WO 2	000-1	DE27	55		2	0000	310 <	
WO	2001	0126	42		A3		2001	0607										
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		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
		YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM					
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
DE	1993	8092			A1		2001	0222	1	DE 1:	999-	1993	8092		1	9990	312 <	
EP	1325	016			A2		2003	0709]	EP 2	000-	9622	14		2	0000	310 <	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
							RO,											
PRIORIT	Y APP	LN.	INFO	. :]	DE 1:	999-	1993	8092		A 1:	9990	312	
									1	WO 2	000-	DE27	55	1	W 2	0000	310	
OTHER S	OURCE	(S):			MAR	TAS	134:	1787	67									

OTHER SOURCE(S):

GI

AB Disclosed are novel nucleoside derivs. of general formula [(I); R = nucleobase or nucleobase with at least one protective group; R1 = H, P(N(C(CH3)2)2)O(CH2)2CN; R2 = H, alkyl; R3 = H, NO2, alkyl; R4, R5 =independently, H, alkyl, alkoxy; or together = -OCH2O-; R6 = H, alkyl], which can easily be split by means of UV light and can be used for synthesis of oligonucleotides. Thus, 2,6-dinitrotoluene was treated with DMSO and KOC(CH3)3 in HOC(CH3)3 to give 2,6(NO2)2C6H3CH2CH2OH, which was condensed with Cl2C(S) to give the thiocarbonyl chloride, which was reacted with thymidine to give I (R = thymine; R1, R2, R4, R5, R6 = H; R3 = NO2) in 30% yield. An example of photolysis of I (R = thymine; R1 - R6 = H) was given.

IT 325974-99-0P 325975-01-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside derivs. capable of undergoing UV-photolysis for oligonucleotide synthesis)

Ι

RN 325974-99-0 CAPLUS CN Carbonochloridothioic acid, O-[2-(2,6-dinitrophenyl)ethyl] ester (9CI) (CA INDEX NAME)

$$CH_2 - CH_2 - O - C - C1$$
 NO_2

RN 325975-01-7 CAPLUS

CN Carbonochloridothioic acid, O-[2-(2-nitrophenyl)propyl] ester (9CI) (CA INDEX NAME)

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:742107 CAPLUS

DOCUMENT NUMBER: 133:282022

TITLE: Preparation of nucleoside derivatives with

3'O-photo-unstable protective groups for use in

nucleic acid chip production

INVENTOR(S): Beier, Markus; Hoheisel, Jorg

PATENT ASSIGNEE(S): Deutsches Krebsforschungszentrum Stiftung des

Offentlichen Rechts, Germany

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT NO.		KIND DATE					I	APPL	ICAT:		DATE				
	20000615							V	NO 2	000-1	DE114	48		2	00004	107 <
WO	20000615	94		A 3	2	2002	0404									
	W: AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DK,
	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
	KG.	KP,	KR.	KZ,	LC.	LK,	LR,	LS,	LT.	LU,	LV,	MD,	MG,	MK,	MN,	MW,
	•	NO,		•	-		-		-	-						
	•	UA,	•	•		•	_		,	,					•	•
	RW: GH,	•	•	•					TZ.	UG.	ZW.	AT,	BE.	CH,	CY,	DE,
		ES,	•		•					•						
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	10003631															128 <
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AU	20000505	98		A5	2	2000	1114	F	AU 2	000-	50598	В		2	00004	107 <
EP	1212338			A2	2	2002	0612	I	EP 2	000-3	93490	05		2	00004	107 <
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL							
US	6756492	•	•	B1		2004	0629	τ	JS 2	002-	9586	10		2	00202	221
PRIORITY	Y APPLN.	INFO.	:					I	DE 1	999-:	1991	5867	1	A 1	99904	108

DE 2000-10003631 A 2 WO 2000-DE1148 W 2

声"她是我们们的"大山山"在"大山"的

A 20000128 W 20000407

OTHER SOURCE(S):

CASREACT 133:282022; MARPAT 133:282022

"特别是我们的为我们是自己的是一个"的"。

GΙ

The present invention relates to nucleoside derivs. [(I);R, R1, R2, R3, R6 AB = (independently) H, NO2, CN, OMe, halogen, alkyl, alkoxy, alkoxyalkyl, (un) substituted aryl, acyl; R4 = dimethoxytrityl, other protecting group, functional group for preparation of oligonucleotides; R5 = H, OH, X2R7; X2 = O, S; R7 = alkyl, alkoxyalkyl, (un) substituted aryl, acyl; n = 0, 1; X = SO2, OC(O), OC(S); Base = (un)protected natural or unnatural purine or pyrimidine base or 5-amino-4-imidazolaminocarbonyl-3-yl] with photo-labile protecting groups, useful for preparing nucleic acid chips with free 3'-OH groups for use with PCR or ligase reactions. Thus, protected deoxythymidine nucleoside was reacted with activated protecting group (preparation given) to give I [R, R1, R2 R5, R6 = H; R3 = CH3; R4 = (MeO-4-C6H4)2(Ph)C-; Base = N4-C(O)CH2O-4-C6H4-C(CH3)3-cytosine], which was 5'-deprotected and reacted with 2-cyanoethyl-N,N,N',N'tetraisopropylphosphordiamidate to give I [R, R1, R2, R3, R5, R6 as given; R4 = P(N(CH(CH3)2)2)(OCH2CH2CN)(II)], which could then be 3'-deprotected (no data). Examples were given (no data) of the use of II-type compds. for the preparation of DNA chains on solid support (DNA chips) for use in, e.g., polymerase chain reactions to generate DNA mols. for use as fluorescent probes capable of hybridizing with sample DNA chains. IT 298699-70-4

Ι

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nucleoside derivs. with 3'O-photo-unstable protecting groups for use in nucleic acid chip production)

RN 298699-70-4 CAPLUS

1H-Imidazolium, 1-methyl-3-[[2-(2-nitrophenyl)propoxy]carbonyl]-, salt with trifluoromethanesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 298699-69-1 CMF C14 H16 N3 O4

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 37181-39-8 CMF C F3 O3 S

IT 179691-31-7P 298699-67-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside derivs. with 3'0-photo-unstable protecting groups

for use in nucleic acid chip production)

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 298699-67-9 CAPLUS

CN 1H-Imidazolium, 1-methyl-3-[[2-(2-nitrophenyl)propoxy]carbonyl]-, chloride (9CI) (CA INDEX NAME)

The second of the second of the

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:514893 CAPLUS

DOCUMENT NUMBER:

131:243502

TITLE:

New photolabile protecting groups of the 2-(2-nitrophenyl)ethoxycarbonyl- and the 2-(2-nitrophenyl)ethylsulfonyl-type for the

oligonucleotide synthesis

AUTHOR (S):

Buhler, S.; Giegrich, H.; Pfleiderer, W.

CORPORATE SOURCE:

Fakultat fur Chemie, Universitat Konstanz, Konstanz,

D-78457, Germany

SOURCE:

Nucleosides & Nucleotides (1999), 18(6 & 7),

1281-1283

CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER:

Marcel Dekker, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

A symposium on new photolabile blocking groups that have been synthesized and introduced into the 5'-OH position of thymidine. The 5'-O-protected thymidines were irradiated at 365 nm under identical conditions and the half-lives and thymidine yields were determined to investigate the influence of different substituents in the two corresponding series.

IT 179691-21-5P 179691-24-8P 179691-31-7P

244140-72-5P 244140-73-6P 244140-74-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(new photolabile protecting groups of the (nitrophenyl)ethoxycarbonyl and the (nitrophenyl)ethylsulfonyl-type for oligonucleotide synthesis)

RN179691-21-5 CAPLUS

Carbonochloridic acid, 2-(2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME) CN

179691-24-8 CAPLUS RN

CN Carbonochloridic acid, 2-(2-chloro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 244140-72-5 CAPLUS

CN Carbonochloridic acid, 2-(4-chloro-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 244140-73-6 CAPLUS

CN Carbonochloridic acid, 2-(4-bromo-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 244140-74-7 CAPLUS

CN Carbonochloridic acid, 2-(4-iodo-2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

14 5 5

1999:479422 CAPLUS

DOCUMENT NUMBER:

131:228938

TITLE:

Photo-Cleavable Protecting Groups as Nucleobase Protections Allowed the Solid-Phase Synthesis of Base-Sensitive SATE-Prooligodeoxyribonucleotides Alvarez, Karine; Vasseur, Jean-Jacques; Beltran,

AUTHOR (S):

Thierry; Imbach, Jean-Louis

CORPORATE SOURCE:

Laboratoire de Chimie Bio-Organique UMR 5625 CNRS-UM II, Universite Montpellier II, Montpellier, 34095, Fr.

SOURCE:

Journal of Organic Chemistry (1999), 64(17),

6319-6328

CODEN: JOCEAH: ISSN: 0022-3263

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal English

LANGUAGE: OTHER SOURCE(S):

CASREACT 131:228938

The first synthesis of oligodeoxynucleotide heteropolymers carrying base-sensitive S-pivaloylthioethyl (t-Bu-SATE) phosphotriester linkages has been performed. It is based on the use of 6-nitroveratryloxycarbonyl (NVOC) and 2,2'-bis(2-nitrophenyl)ethoxycarbonyl (diNPEOC) groups as nucleobase protections in combination with photolysis deprotection. synthesis was realized using the phosphoramidite approach on solid support bearing a 1-(o-nitrophenyl)-1,3-propanediol linker. The removal of the protecting groups and the cleavage of the oligonucleotides from the solid support were accomplished in a single photolysis procedure upon UV irradiation at wavelengths >300 nm. Faster deprotection rates were observed for diNPEOC-protected nucleosides and oligomers than with NVOC-protected ones. The synthesis of pentanucleoside t-Bu-SATE-phosphotriesters d(5'TpCpCpCpTp3'), d(5'TpApApApAp3'), and d(5'TpGpGpGpTp3') and of dodecanucleoside t-Bu-SATE-phosphotriesters and -phosphorothioate d(5'ApCpApCpCpCpApApTpTpCpTp3') and d(5'ApGpApApTpTpGpGpGpTpGpTp3') demonstrated the efficiency of the method.

IT 189216-43-1

> RL: RCT (Reactant); RACT (Reactant or reagent) (photocleavable protecting groups as nucleobase protections allowed the solid phase synthesis of base-sensitive SATEprooligodeoxyribonucleotides)

RN189216-43-1 CAPLUS

CN Carbonochloridic acid, 2,2-bis(2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

概認

REFERENCE COUNT:

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

33

ACCESSION NUMBER:

1997:216197 CAPLUS

DOCUMENT NUMBER:

126:305727

TITLE:

Photolabile protecting groups for nucleosides:

synthesis and photo-deprotection rates

AUTHOR (S):

Hasan, Ahmad; Stengele, Klaus-Peter; Giegrich, Heiner; Cornwell, Paul; Isham, Kenneth R.; Sachleben, Richard

A.; Pfleiderer, Wolfgang; Foote, Robert S.

CORPORATE SOURCE:

Biology Div., Oak Ridge National Lab., Oak Ridge, TN,

37831-8080, USA

SOURCE:

Tetrahedron (1997), 53(12), 4247-4264

CODEN: TETRAB; ISSN: 0040-4020 Elsevier

PUBLISHER:

Journal

LANGUAGE:

DOCUMENT TYPE: English

O-Nitrobenzyloxycarbonyl and a number of related groups have been tested for the photolabile protection of nucleoside 5'-hydroxyls. The rates of photo-deprotection vary by approx. 17-fold in a series of 5'-O-protected thymidine derivs. irradiated at 365 nm under identical conditions. The homologous 2-(o-nitrophenyl)ethoxycarbonyl group and its derivs. were found to be removed approx. 2-fold faster than the corresponding o-nitrobenzyloxycarbonyl group, possibly due to an increased rate of α-hydrogen abstraction by the photo-excited nitro group. Photolysis rates were affected by substitutions on both the Ph ring and α -carbon, with the strongest rate enhancements caused by the presence of a Me or second o-nitrophenyl group in the α -position . Among the ring-substituted derivs. studied, o-nitro and o-iodo had the strongest enhancement effects on photodeprotection, while an o-fluoro group reduced the rate of photodeprotection. In general, substitution at other positions on the Ph ring had less effect on photolysis rates.

179691-21-5P 179691-22-6P 179691-23-7P IT

179691-24-8P 179691-25-9P 179691-27-1P

179691-29-3P 179691-31-7P 189216-43-1P

189216-56-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nitrobenzyloxycarbonyl photolabile protecting group for nucleosides preparation and photo-deprotection rates)

179691-21-5 CAPLUS RN

Carbonochloridic acid, 2-(2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME) CN

RN179691-22-6 CAPLUS

Carbonochloridic acid, 2-(2,6-dinitrophenyl)ethyl ester (9CI) (CA INDEX CN NAME)

RN 179691-23-7 CAPLUS

CN Carbonochloridic acid, 2-(2-fluoro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$CH_2 - CH_2 - O - C - C1$$

RN 179691-24-8 CAPLUS

CN Carbonochloridic acid, 2-(2-chloro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-25-9 CAPLUS

CN Carbonochloridic acid, 2-(2-bromo-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-27-1 CAPLUS

CN Carbonochloridic acid, 2-(5-methoxy-2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NO_2 & O \\ & CH_2 - CH_2 - O - C - C1 \\ \hline \\ OMe \end{array}$$

RN 179691-29-3 CAPLUS

CN Carbonochloridic acid, 2-(2,4-dichloro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 189216-43-1 CAPLUS

CN Carbonochloridic acid, 2,2-bis(2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 189216-56-6 CAPLUS

REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:701792 CAPLUS

DOCUMENT NUMBER:

126:31567

TITLE:

New carbamate supports for the preparation of

3'-amino-modified oligonucleotides

10/764,989 30/08/2006

AUTHOR(S): Avino, Anna; Garcia, Ramon Guimil; Albericio,

Fernando; Mann, Matthias; Wilm, Matthias; Neubauer,

Gitte; Eritja, Ramon

CORPORATE SOURCE: Dep. Molecular Biol., Cent. Investigacion

Desarrollo-CSIC, Barcelona, E-08034, Spain Bioorganic & Medicinal Chemistry (1996),

4(10), 1649-1658

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel approach for the preparation of oligodideoxyribonucleotides carrying amino groups at the 3'-end is described. Several CPG supports having aminoalkyl groups and 3'-amino-2',3'-dideoxynucleosides linked through basic-labile carbamate linkages such as 2-(2-nitrophenyl)ethoxycarbonyl and fluorenylmethoxycarbonyl were prepared using two different strategies. These supports are compatible to the standard solid phase phosphite-triester methodol. and yield oligonucleotides containing amino groups at the 3'-end. Several properties of the 3'-amino oligonucleotides, such as nuclease resistance, hybridization, and preparation of oligonucleotide conjugates are discussed.

IT 134403-97-7

SOURCE:

RL: RCT (Reactant); RACT (Reactant or reagent)

(new carbamate supports for the preparation of aminooligonucleotides)

RN 134403-97-7 CAPLUS

CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NO}_2 \\ \text{CH}_2\text{-CH}_2\text{-O-C-Cl} \\ \\ \text{MeO-C} \\ \\ \\ \text{O} \end{array}$$

L5 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:483513 CAPLUS

DOCUMENT NUMBER: 125:143236

TITLE: Preparation of nucleoside derivatives with photolabile

protecting groups.

INVENTOR(S): Pfleiderer, Wolfgang; Giegrich, Heiner

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 23 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KINI)	DATE		API	PLICAT	'ION I	NO.		DA	TE			
		 -					-						- -					
	DE	444499	96			A1		1996	0620	DE	1994-	4444	996		19	9412	216	<
	CA	220793	12			AA		1996	0620	CA	1995-	2207	912		19	9512	215	<
	WO	961863	34			A2		1996	0620	WO	1995-	EP49'	76		19	9512	215	<
	WO	961863	34			A3		1996	0822									
		W: 2	AU,	BR,	CA,	CZ,	FI,	HU,	JP,	KR, MX	, NO,	PL,	SK,	US				
		RW: 2	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GF	R, IE,	IT,	LU,	MC,	NL,	PT,	SE	
	ΑU	964386	65			A1		1996	0703	AU	1996-	4386	5		19	9512	215	<
	ΑU	692658	8			B2		1998	0611									

30/08/2006 10/764,989

BD 303500	7.7	10071001	EP 1995-942675		19951215 <
EP 797580	A2	19971001	EP 1333-3426/3		19951215 <
EP 797580	B1	20020410			
R: AT, BE, CH,	DE, DK	, ES, FR, G	BB, IT, LI, NL, SE, IE		
HU 77176	A2	19980302	HU 1997-1821		19951215 <
HU 215543	В	19990128			
BR 9510498	Α	19991130	BR 1995-10498		19951215 <
IL 116407	A1	20010913	IL 1995-116407		19951215 <
AT 215957	E	20020415	AT 1995-942675		19951215 <
ES 2174976	Т3	20021116	ES 1995-942675		19951215 <
CZ 292296	В6	20030813	CZ 1997-1836		19951215 <
US 5763599	Α	19980609	US 1996-693217		19960809 <
NO 9702754	Α	19970811	NO 1997-2754		19970613 <
NO 307382	B1	20000327			
FI 9703643	Α	19970909	FI 1997-3643		19970909 <
PRIORITY APPLN. INFO.:			DE 1994-4444996	Α	19941216
			WO 1995-EP4976	W	19951215
OTHER SOURCE(S):	MARPAT	125:143236	j		

GI

Title compds. [I; R1 = H, NO2, CN, OMe; R2 = H, OMe; R3 = H, F, Cl, Br, AB NO2; R5 = H, NCCH2CH2OPN(R7)2, p-O2NC6H4CH2CH2OPN(R7)2; R7 = alkyl; R6 = H, OH, alkoxy, alkenyloxy, or acetal, silyl ether protecting groups; B = (protected) adenine, cytosine, guanine, thymine, uracil residues], were prepared Thus, thymidine in pyridine was treated with 2-(2nitrophenyl)ethoxycarbonyl chloride (preparation given) to give 5'-O-[2-(2-nitrophenyl)] ethoxycarbonyl] thymidine. the latter showed t1/2 =2.6 min. for photodeprotection using a high pressure Hg lamp. 179691-21-5P 179691-22-6P 179691-23-7P ΙT 179691-24-8P 179691-25-9P 179691-26-0P 179691-27-1P 179691-29-3P 179691-30-6P 179691-31-7P 179691-33-9P 179691-35-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of nucleoside derivs. with photolabile protecting groups) RN 179691-21-5 CAPLUS CN Carbonochloridic acid, 2-(2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-22-6 CAPLUS

CN Carbonochloridic acid, 2-(2,6-dinitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-23-7 CAPLUS

CN Carbonochloridic acid, 2-(2-fluoro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-24-8 CAPLUS

CN Carbonochloridic acid, 2-(2-chloro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 179691-25-9 CAPLUS

CN Carbonochloridic acid, 2-(2-bromo-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

RN 179691-26-0 CAPLUS

CN Carbonochloridic acid, 2-(4-chloro-2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \end{array} \end{array} \\ \text{C1} \end{array} \end{array}$$

RN 179691-27-1 CAPLUS

CN Carbonochloridic acid, 2-(5-methoxy-2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NO_2 & O \\ \hline \\ CH_2-CH_2-O-C-C1 \\ \hline \\ OMe \end{array}$$

RN 179691-29-3 CAPLUS

CN Carbonochloridic acid, 2-(2,4-dichloro-6-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

RN 179691-30-6 CAPLUS

CN Carbonochloridic acid, 2-(4,5-dimethoxy-2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{O}\text{--}\text{C}\text{--}\text{Cl} \\ \\ \text{MeO} & \text{NO}_2 \end{array}$$

RN 179691-31-7 CAPLUS

CN Carbonochloridic acid, 2-(2-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)

RN 179691-33-9 CAPLUS

CN Carbonochloridic acid, 2-chloro-2-(2-nitrophenyl)ethyl ester (9CI) (CF INDEX NAME)

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RN 179691-35-1 CAPLUS

CN Carbonochloridic acid, 2-methoxy-2-(2-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1993:409092 CAPLUS

DOCUMENT NUMBER:

119:9092

TITLE:

Nucleosides. Part LI. The 2-(4-

nitrophenyl)ethoxycarbonyl (npeoc) and

2-(2,4-dinitrophenyl)ethoxycarbonyl (dnpeoc) groups for protection of hydroxy functions in ribonucleosides

and 2'-deoxyribonucleosides

AUTHOR (S):

LANGUAGE:

Schirmeister, Helga; Himmelsbach, Frank; Pfleiderer,

Wolfgang

CORPORATE SOURCE:

Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Germany

SOURCE:

Helvetica Chimica Acta (1993), 76(1),

385-401

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE:

Journal English

AB The common 2'-deoxypyrimidine and -purine nucleosides, thymidine, O4-[2-(4-nitrophenyl)ethyl]thymidine, 2'-deoxy-N4-[2-(4-nitrophenyl)ethoxycarbonyl]cytidine, 2'-deoxy-N6-[2-(4-nitrophenyl)ethoxycarbonyl]adenosine, and 2'-deoxy-N2-[2-(4-nitrophenyl)ethoxycarbonyl]-O6-[2-(4-nitrophenyl)ethyl]-guanosine were further protected by the 2-(4-nitrophenyl)ethoxycarbonyl and the

2-(2,4-dinitrophenyl)ethoxycarbonyl group at the OH functions of the sugar moiety to form new partially and fully blocked intermediates for

nucleoside and nucleotide syntheses. The newly synthesized compds. were

characterized by elemental analyses and UV and 1H NMR spectra.

IT 111234-22-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with nucleosides)

RN 111234-22-1 CAPLUS

CN Carbonochloridic acid, 2-(2,4-dinitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:634468 CAPLUS

DOCUMENT NUMBER: 117:234468

TITLE: N-2-(2,4-Dinitrophenyl)ethyloxycarbonyl-amino acids,

new base labile protected derivatives suitable for

solid-phase peptide synthesis

Acedo, Montse; Albericio, Fernando; Eritja, Ramon AUTHOR (S):

Dep. Mol. Genet., CSIC, Barcelona, 08034, Spain CORPORATE SOURCE: Tetrahedron Letters (1992), 33(34), 4989-92

SOURCE: CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal English LANGUAGE:

CASREACT 117:234468 OTHER SOURCE(S):

The base labile N-2-(2,4-dinitrophenyl)ethyloxycarbonyl (Dnpeoc) group has

been developed for the protection of the α -amino group of amino acids. Preparation of Dnpeoc-amino acids and their application to solid-phase

peptide synthesis are described.

144481-14-1P IT

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and protection by, of amino acids)

RN144481-14-1 CAPLUS

Carbonic acid, 2-(2,4-dinitrophenyl)ethyl 4-nitrophenyl ester (9CI) CN INDEX NAME)

IT 111234-22-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, condensation of, with hydroxysuccinimide, or protection by, of amino acids)

RN 111234-22-1 CAPLUS

CN Carbonochloridic acid, 2-(2,4-dinitrophenyl)ethyl ester (9CI) (CA INDEX

$$\begin{array}{c} O \\ O \\ O \\ O \\ O \\ O \end{array}$$

L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:470220 CAPLUS

30/08/2006 10/764,989

DOCUMENT NUMBER:

117:70220

TITLE:

A synthetic procedure for the preparation of oligonucleotides without using ammonia and its application for the synthesis of oligonucleotides

containing O-4-alkyl thymidines

AUTHOR (S):

Eritja, Ramon; Robles, Jordi; Avino, Anna; Albericio,

Fernando; Pedroso, Enrique

CORPORATE SOURCE:

Dep. Mol. Genet., CSIC, Barcelona, 08034, Spain

SOURCE:

Tetrahedron (1992), 48(20), 4171-82

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE:

Journal

LANGUAGE: English

The preparation of 5'-O-dimethoxytrityl (DMT) and p-nitrophenylethyl (NPEOC, NPE) protected nucleosides linked to 4-(2-hydroxyethyl)-3-nitrobenzoic acid derivs. is described. These products attached to controlled-pore glass supports and together with DMT and NPE-protected nucleoside cyanoethyl phosphoramidites permits a first time preparation of short (6-13 bases) oligonucleotides containing the ammonia sensitive mutagenic bases O-4-Pr and O-4-Bu thymidines, 5' GCTprAGC 3' and 5' GCTbuAGC 3'.

IT 134403-92-2P 134403-97-7P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion to protected nucleosides)

134403-92-2 CAPLUS RN

Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, CN 2,4,5-trichlorophenyl ester (9CI) (CA INDEX NAME)

134403-97-7 CAPLUS RN

Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, methyl ester CN (9CI) (CA INDEX NAME)

ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1991:429800 CAPLUS

DOCUMENT NUMBER:

115:29800

TITLE:

NPE-resin, a new approach to the solid-phase synthesis

of protected peptides and oligonucleotides. I. Synthesis of the supports and their application to

oligonucleotide synthesis

AUTHOR (S):

Eritja, Ramon; Robles, Jordi; Fernandez-Forner,

Dolors; Albericio, Fernando; Giralt, Ernest; Pedroso,

Enrique

CORPORATE SOURCE:

Dep. Mol. Genet., CSIC, Barcelona, E-08034, Spain

SOURCE:

Tetrahedron Letters (1991), 32(11), 1511-14

30/08/2006 10/764,989

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

The preparation of polymeric supports containing a base labile

2-(2-nitrophenyl) Et

linkage and the attachment of protected nucleosides is described together with their application to oligonucleotide synthesis.

IT 134403-92-2P 134403-97-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and reaction of, with thymidine derivative)

134403-92-2 CAPLUS RN

CN Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-,

2,4,5-trichlorophenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 & & & \\
C1-C-O-CH_2-CH_2 & & & \\
NO_2 & & & \\
\end{array}$$

134403-97-7 CAPLUS RN

Benzoic acid, 4-[2-[(chlorocarbonyl)oxy]ethyl]-3-nitro-, methyl ester CN (9CI) (CA INDEX NAME)

ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

1988:38280 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 108:38280

TITLE: New protecting groups in nucleoside and nucleotide

chemistry

AUTHOR (S): Pfleiderer, W.; Schirmeister, H.; Reiner, T.; Pfister,

M.; Charubala, R.

CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Fed.

Rep. Ger.

SOURCE: Bioactive Molecules (1987), 3 (Biophosphates

Their Analogues), 133-42

CODEN: BMOLEY; ISSN: 0921-0687

DOCUMENT TYPE: Journal English LANGUAGE:

Various β -heteroarylethyl groups were developed as a new set of phosphate protecting groups. Cleavage proceeds by β -elimination due to activation of the β -hydrogen atoms by the ring nitrogens of the heterocycle. Sugar hydroxyl groups can effectively be blocked by the p-nitrophenylethoxycarbonyl (NPEOC) and the 2,4dinitrophenylethoxycarbonyl (DNPEOC) group to give carbonates of different stability. Selective deprotection of the DNPEOC over the NPEOCv residue can be achieved. The o-nitrophenylethyl group is not only prone to β -elimination cleavage but also to photolytic removal. The

IT

p-nitrophenylethylsulfonyl (NPES) group is a new OH-protecting group especially suitable for blocking the 2'-OH position in ribonucleosides. Stable 2'-sulfonates are formed, which do not show intramol. acyl migration but undergo β -elimination on removal. 112123-78-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (deprotection of)

RN 112123-78-1 CAPLUS

CN Uridine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-, 2',3'-bis[2-(2,4-dinitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 111234-22-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(protection of hydroxy group of nucleosides by reaction with)

RN 111234-22-1 CAPLUS

CN Carbonochloridic acid, 2-(2,4-dinitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NO}_2 \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{o-}\text{C-}\text{Cl} \\ \\ \text{O}_2\text{N} \end{array}$$

L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1987:618016 CAPLUS

DOCUMENT NUMBER:

107:218016

TITLE:

Preparation of 5'-acylated deoxyribonucleosides as

intermediates in oligonucleotide synthesis

INVENTOR(S):

Pfleiderer, Wolfgang

PATENT ASSIGNEE(S):

Fed. Rep. Ger.

SOURCE: Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3606395	A1	19870903	DE 1986-3606395	19860227 <
PRIORITY APPLN. INFO.:		•	DE 1986-3606395	19860227

OTHER SOURCE(S): CASREACT 107:218016

AB 2'-Deoxyribonucleosides protected at the 5'-position by a base-labile group were prepared for use in synthesis of oligodeoxyribonucleotides. Thus, (2,4-dinitrophenyl)ethyl chloroformate in CH2Cl2 was added to thymidine in pyridine at 0° to give 56% 5'-[0-(2,4-dinitrophenyl)ethoxycarbonyl]thymidine.

The state of the s

IT 111234-22-1

RL: RCT (Reactant); RACT (Reactant or reagent) (acylation by, of thymidine derivative)

RN 111234-22-1 CAPLUS

CN Carbonochloridic acid, 2-(2,4-dinitrophenyl)ethyl ester (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 12:30:16 ON 30 AUG 2006)

FILE 'REGISTRY' ENTERED AT 12:30:30 ON 30 AUG 2006

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 56 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:32:39 ON 30 AUG 2006

L4 29 S L3

L5 22 S L4 AND 1800<=PY<=2003